	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 22:17:40 ON 16 DEC 2008

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DICTIONARY FILE UPDATES: 15 DEC 2008 HIGHEST RN 1084993-68-9

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http://www.cas.org/support/stngen/stndoc/properties.html

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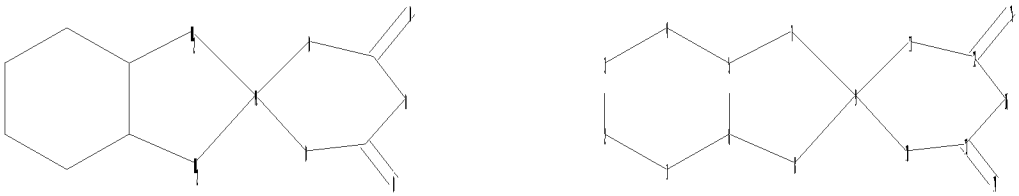

chain nodes :
14 15
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 16
chain bonds :
12-15 13-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-8 7-9 8-9 9-10 9-11 10-13 11-12 12-16 13-16
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-8 7-9 8-9 9-10 9-11 10-13 11-12 12-15 12-16 13-14 13-16

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:Atom

L1 STRUCTURE UPLOADED

=> d his

(FILE 'HOME' ENTERED AT 22:17:23 ON 16 DEC 2008)

FILE 'REGISTRY' ENTERED AT 22:17:40 ON 16 DEC 2008
L1 STRUCTURE UPLOADED

=> d l1
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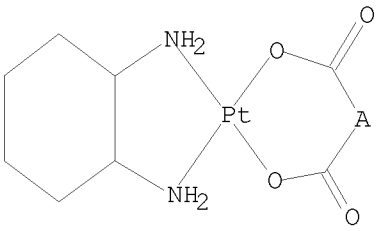
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 37 TO ITERATE

100.0% PROCESSED 37 ITERATIONS 18 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 376 TO 1104
PROJECTED ANSWERS: 106 TO 614

L2 18 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 22:18:07 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 938 TO ITERATE

100.0% PROCESSED 938 ITERATIONS 374 ANSWERS
SEARCH TIME: 00.00.01

L3 374 SEA SSS FUL L1

=> fil caplus
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FULL ESTIMATED COST 178.82 179.03

FILE 'CAPLUS' ENTERED AT 22:18:47 ON 16 DEC 2008
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FILE COVERS 1907 - 16 Dec 2008 VOL 149 ISS 25
FILE LAST UPDATED: 15 Dec 2008 (20081215/ED)

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=> s l3
L4         216 L3

=> s l4 and py<=2004
      25116910 PY<=2004
L5         199 L4 AND PY<=2004

=> s l3/prep
           216 L3
       4685946 PREP/RL
L6         108 L3/PREP
                 (L3 (L) PREP/RL)

=> s l6 and py<=2004
      25116910 PY<=2004
L7         101 L6 AND PY<=2004

=> s l7 and aliphatic carboxylic acid
         75902 ALIPHATIC
        268979 CARBOXYLIC
       4725289 ACID
           750 ALIPHATIC CARBOXYLIC ACID
                 (ALIPHATIC(W)CARBOXYLIC(W)ACID)
L8           0 L7 AND ALIPHATIC CARBOXYLIC ACID

=> s l7 and aromatic sulphonic acid
        251039 AROMATIC
          1889 SULPHONIC
       4725289 ACID
             3 AROMATIC SULPHONIC ACID
                 (AROMATIC(W)SULPHONIC(W)ACID)
L9           0 L7 AND AROMATIC SULPHONIC ACID

=> d l7 1-101 bib abs

L7   ANSWER 1 OF 101  CAPLUS  COPYRIGHT 2008 ACS on STN
AN   2004:1010893  CAPLUS
DN   142:126560
TI   Carboplatin derivatives with superior antitumor activity compared to the
     parent compound
AU   Bernhardt, Guenther; Brunner, Henri; Gruber, Nick; Lottner, Christian;
     Pushpan, Simi K.; Tsuno, Takashi; Zabel, Manfred
CS   Institut fuer Pharmazie, Universitaet Regensburg, Germany
SO   Inorganica Chimica Acta (2004), 357(15), 4452-4466
     CODEN: ICHAA3; ISSN: 0020-1693
PB   Elsevier B.V.
```

DT Journal
LA English
OS CASREACT 142:126560
AB A series of new carboplatin derivs. was synthesized by introducing fluoro, chloro, bromo and hydroxy substituents into the cyclobutane ring. The carboxylic acid groups were used for the complexation with platinum(II) fragments bearing two ammonia and (RR/SS)-trans-1,2-diaminocyclohexane ligands, resp., as non-leaving groups. The antiproliferative activity of the new carboplatin analogs differing in the cyclobutanedicarboxylato ligands and the type of platinum fragment were studied in tests with J82 bladder cancer cells and SK-OV-3 as well as cisplatin-resistant NIH:OVCAR-3 ovarian cancer cells. The most active compds. were the 3-fluoro, 3-chloro and 3,3-difluoro derivs. of carboplatin. NMR spectroscopy showed that cis-diammine(3-chloro-1,1-cyclobutanedicarboxylato)platinum(II) was hydrolyzed much faster than carboplatin explaining its higher cytostatic activity.
RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:1010892 CAPLUS
DN 142:147197
TI Carboplatin-containing porphyrin-platinum complexes as cytotoxic and phototoxic antitumor agents
AU Brunner, Henri; Gruber, Nick
CS Institut fuer Anorganische Chemie, Universitaet Regensburg, Regensburg, 93040, Germany
SO Inorganica Chimica Acta (2004), 357(15), 4423-4451
CODEN: ICHAA3; ISSN: 0020-1693
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 142:147197
AB Tetraarylporphyrins of the Ar:Ar' = 3:1-type were synthesized from pyrrole, 4-hydroxybenzaldehyde and benzaldehydes substituted with ethyleneglycol, hydroxy and quaternary ammonium substituents for solubilization in DMF and, in particular, in H2O. After etherification with the tosylate of di-Et cyclobutanedicarboxylate and subsequent ester hydrolysis, the resulting carboxylic acid groups were used to bind Pt fragments bearing two NH3 and (RR/SS)-trans-1,2-diaminocyclohexane ligands, resp., as nonleaving groups. In comparison to hematoporphyrin-Pt complexes, the title compds. show a 30. bathochromic shift of their absorption bands increasing the penetration depth of the red light used for irradiation in photodynamic tumor therapy. The antiproliferative activity of 24 new Pt complexes differing in the porphyrin ligands and the Pt fragments were studied in tests with J82 bladder cancer cells. The compds. showed the cytotoxic effect of the Pt moiety and after irradiation the phototoxic effect of the porphyrin system.
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:996194 CAPLUS
DN 141:419811
TI Carboplatin-type platinum(II) complexes and their antitumor activity
IN Brunner, Henri; Gruber, Nick
PA Universitaet Regensburg, Germany
SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004099224	A1	20041118	WO 2004-EP4680	20040503 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10351021	A1	20041125	DE 2003-10351021	20031031 <--
PRAI	DE 2003-10320222	A	20030505		
	DE 2003-10351021	A	20031031		

OS MARPAT 141:419811

AB The invention relates to carboplatinum derivs. PtL(NH3)2 and PtLL1 (H2L = 3-chloro and 3-hydroxycyclobutane-1,1-dicarboxylic acid; L1 = trans -1,2-cyclohexanediamine), medicaments containing said derivs. and to the use of the carboplatinum derivs. in the production of medicaments for tumor therapy. For example, PtL(NH3)2 (H2L = 3-chlorocyclobutane-1,1-dicarboxylic acid) was prepared by the reaction of H2L and [Pt(NH3)2(H2O)2](OH)2 in 50% yield.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:885946 CAPLUS
DN 142:79772
TI Synthesis and Biological Activity of Water-Soluble Maleimide Derivatives of the Anticancer Drug Carboplatin Designed as Albumin-Binding Prodrugs
AU Warnecke, Andre; Fichtner, Iduna; Garmann, Dirk; Jaehde, Ulrich; Kratz, Felix
CS Tumor Biology Center, Freiburg, 79106, Germany
SO Bioconjugate Chemistry (2004), 15(6), 1349-1359
CODEN: BCCHES; ISSN: 1043-1802
PB American Chemical Society
DT Journal
LA English

AB Four platinum(II) complexes were synthesized by reacting either [Pt trans-DACH](NO3)2 with a 6-maleimidocaproic acid, a 15-maleimido-4,7,10,13-tetroxapentadecanoic acid, and a 6-maleimido-4-oxacaproic ester derivative of cyclobutane-1,1-dicarboxylic acid (CBDA) or [Pt(NH3)2](NO3)2 with a 6-maleimido-4-oxacaproic ester derivative of CBDA. Both complexes containing the 6-maleimido-4-oxacaproic ester showed good water solubility (≥8 mg/mL) and CE expts. revealed rapid binding to human serum albumin and the formation of biadducts with dGMP and dAMP. In the MaTu xenograft model in nude mice, both complexes showed an improved antitumor effect at their maximum tolerated dose (2 + 50 mg/kg carboplatin equivalent) compared to therapy with carboplatin at equimolar dose or at its optimal dose (2 + 75 mg/kg).

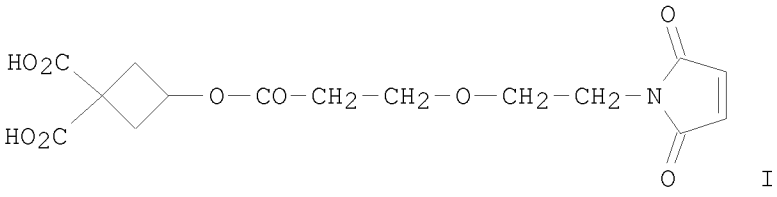
RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:800798 CAPLUS
DN 141:288132
TI Protein-binding derivatives of platinum complexes with cyclobutane-1,1-dicarboxylate ligands.
IN Kratz, Felix; Warnecke, Andre

PA KTB Tumorforschungsgesellschaft MbH, Germany
SO Ger. Offen., 13 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 10314780	A1	20040930	DE 2003-10314780	20030319 <--
WO 2004083223	A1	20040930	WO 2004-EP2850	20040318 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1603930	A1	20051214	EP 2004-721530	20040318
EP 1603930	B1	20070829		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
JP 2006520360	T	20060907	JP 2006-504734	20040318
AT 371664	T	20070915	AT 2004-721530	20040318
US 20060089341	A1	20060427	US 2005-549311	20050916
US 7141691	B2	20061128		
PRAI DE 2003-10314780	A	20030319		
WO 2004-EP2850	W	20040318		

OS MARPAT 141:288132
GI



I

AB The invention concerns low mol. Pt complexes with cyclobutane-1,1-dicarboxylate ligands, which contains a protein-binding group as an antitumor agent for human breast cancer. For example, PtLL1 (H2L = I; L1 = trans-1,2-cyclohexanediamine) was prepared in 61 % yield in a multistep process starting from bis(4-methoxybenzyl)malonate and 1,3-dibromo-2-tert-butyldimethylsiloxypropane. The Pt complexes of cyclobutane-1,1-dicarboxylate having a protein-binding group were tested as antitumor agents for human breast cancer.

L7 ANSWER 6 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:581046 CAPLUS
DN 141:260980
TI The β-glucuronyl-based prodrug strategy allows for its application on β-glucuronyl-platinum conjugates
AU Tromp, Reynier A.; van Boom, Stella S. G. E.; Timmers, C. Marco; van Zutphen, Steven; van der Marel, Gijsbert A.; Overkleeft, Herman S.; van Boom, Jacques H.; Reedijk, Jan

CS Leiden Institute of Chemistry, Gorlaeus Laboratories, Leiden University, RA Leiden, 2300, Neth.
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(16), 4273-4276
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 141:260980
AB The use of platinum drugs in antitumor therapy is well established. An important drawback of these chemotherapeutics is the lack of selectivity for tumor cells, usually resulting in severe toxic side effects. A glucuronyl-platinum conjugate was designed and synthesized to test the compatibility of platinum compds. with β-glucuronidase-based prodrug therapy. Instantaneous cleavage of the β-glucuronic bond in the glucuronyl-platinum conjugate was observed upon addition of β-glucuronidase resulting in PtII(dach)(4-hydroxybenzylmalonate) and glucuronic acid.
RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:645226 CAPLUS
DN 139:328130
TI Synthesis, characterization and preliminary cytotoxicity assays of poly(ethylene glycol)-malonato-Pt-DACH conjugates
AU Furin, Alessia; Guiotto, Andrea; Baccichetti, Franca; Pasut, Gianfranco; Deuschel, Christine; Bertani, Roberta; Veronese, Francesco M.
CS Dipartimento di Scienze Farmaceutiche, Universita' degli Studi di Padova, Padua, 5-35100, Italy
SO European Journal of Medicinal Chemistry (2003), 38(7-8), 739-749
CODEN: EJMCA5; ISSN: 0223-5234
PB Elsevier Science Ltd.
DT Journal
LA English
AB Oxalate 1,2-diaminocyclohexane platinum (oxaliplatin), a successfully employed platinum compound belonging to the family of Pt-DACH complexes, has been conjugated to different mol. weight poly(ethylene glycols) (PEG) by means of peptide spacers and a malonic acid bidentate residue. Tri- and tetrapeptidic substrates of lysosomal enzymes were used in order to increase the release of Pt-DACH complex inside the cell following endocytosis and enzymic degradation of the peptide spacer. Other amino acids (e.g. norleucine) have been also employed. 1H-NMR of some conjugates was performed as characterization of the product, while 195Pt-NMR anal. was carried out to detect the rearrangement of the platinum complex from the Pt(O,O) to the Pt(O,N) form. The compound PEG(5000)-Nle-malonato-Pt-DACH (4) has been tested against L1210-implanted mice and showed and appreciable increase in cytotoxicity as compared to the reference standard Cl2PtDACH.
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:638706 CAPLUS
DN 140:138829
TI Tamoxifen derivatives for delivery of the antitumoral (DACH)Pt group: Selective synthesis by McMurry coupling, and biochemical behaviour
AU Top, Siden; El Bachir, Kaloun; Vessieres, Anne; Leclercq, Guy; Laios, Ioanna; Ourevitch, Michele; Deuschel, Christine; McGlinchey, Michael J.; Jaouen, Gerard
CS Laboratoire de Chimie Organometallique UMR CNRS 7576 Ecole Nationale Superieure de Chimie de Paris, Paris, 75231/05, Fr.
SO ChemBioChem (2003), 4(8), 754-761

CODEN: CBCHFX; ISSN: 1439-4227
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
AB The goal of our study was to potentiate the effects of the ((R,R)-trans-1,2-diaminocyclohexane)platinum(II) fragment [(DACH)Pt], known for its cytotoxic properties, either with tamoxifen (Tam), the most widely used antiestrogen in the treatment of hormone-dependent breast cancers, or with its active metabolite hydroxy-tamoxifen (hydroxy-Tam). We coupled Tam or hydroxy-Tam derivs. bearing a malonato group at the para position of the β aromatic ring with the (DACH)Pt fragment. The malonato-Tam and malonato-hydroxy-Tam compds. were prepared through McMurry coupling of the appropriate ketones. The presence of the malonate group resulted in a pronounced stereospecificity in the reaction, since malonato-Tam was obtained only as the Z isomer, while malonato-hydroxy-Tam was obtained as an 80/20 E/Z mixture Attribution of the isomeric structures was achieved by 2D NMR spectroscopy. The platinum complexes (DACH)Pt-malonato-Tam and (DACH)Pt-malonato-hydroxy-Tam were then prepared by coupling the barium salts derived from the malonato-Tam and malonato-hydroxy-Tam with the nitrate derived from (DACH)PtCl2. Study of the biochem. properties of these two platinum complexes showed that, while the hydroxy-Tam complex is satisfactorily recognized by the estrogen receptor (relative binding affinity, RBA = 6.4%), the Tam complex is less well recognized (RBA = 0.5%). The effects of these complexes on two hormone-dependent breast cancer cell lines (MCF7 and MVLN) were studied in vitro. Both complexes showed an antiproliferative effect on MCF7 cells, and an antiestrogenic effect on MVLN cells. The observed effects appear to be essentially antihormonal, since incorporation of the (DACH)Pt fragment into the tamoxifen skeleton did not cause an increase in the cytotoxicity of the complexes.
RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:610452 CAPLUS
DN 139:159041
TI Preparation of novel, water-soluble porphyrin platinum amine compounds with high tumor selectivity and their use for the treatment of benign and malignant tumor diseases
IN Bart, Karl-Christian; Bernhardt, Guenther; Brunner, Henri; Lottner, Christian
PA Zentaris A.-G., Germany; Zentaris GmbH
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003064424	A2	20030807	WO 2003-EP874	20030129 <--
WO 2003064424	A3	20040115		
W: AU, BR, BY, CA, CN, CO, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LT, LV, MK, MX, NO, NZ, PH, PL, RO, RU, SG, UA, UZ, YU, ZA				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR				
US 20040023942	A1	20040205	US 2003-353788	20030127 <--
US 7087214	B2	20060808		
EP 1470139	A2	20041027	EP 2003-734604	20030129 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003007400	A	20041221	BR 2003-7400	20030129 <--

	CN 1639178	A	20050713	CN 2003-804552	20030129	
	CN 1303090	C	20070307			
	JP 2005522429	T	20050728	JP 2003-564047	20030129	
	NZ 534541	A	20051028	NZ 2003-534541	20030129	
	CA 2418410	A1	20030801	CA 2003-2418410	20030203	<--
	TW 233929	B	20050611	TW 2003-92102414	20030206	
	ZA 2004005925	A	20040907	ZA 2004-5925	20040726	<--
	IN 2004KN01063	A	20051230	IN 2004-KN1063	20040727	
	MX 2004PA07443	A	20041011	MX 2004-PA7443	20040730	<--
	NO 2004003650	A	20041029	NO 2004-3650	20040831	<--
	HK 1078585	A1	20071026	HK 2005-110413	20051118	
PRAI	US 2002-353585P	P	20020201			
	WO 2003-EP874	W	20030129			

OS MARPAT 139:159041
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

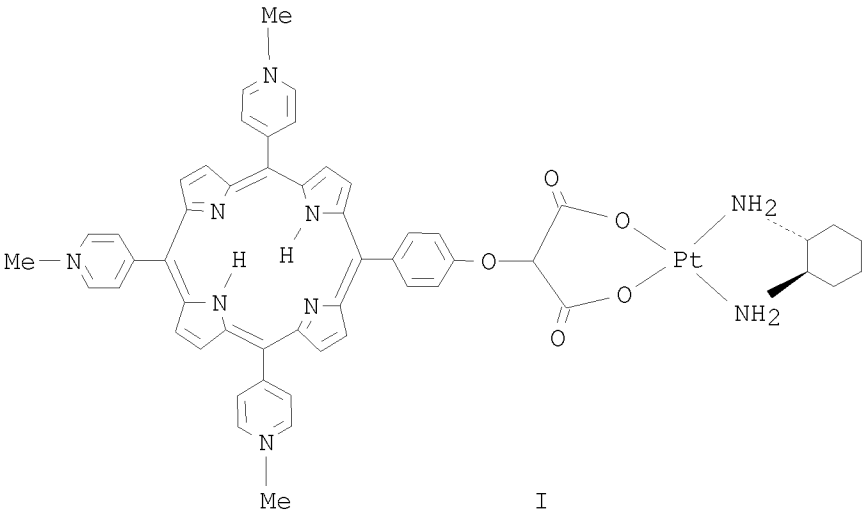
AB The invention relates to the preparation of novel, water-soluble porphyrin platinum compds. of the tetraarylporphyrin platinum type or of the hematoporphyrin platinum type in which a platinum diamine is bonded to pendant arm/arms of the porphyrin. with high tumor selectivity and their use for the treatment of benign and malignant tumor diseases. These compds. have high tumor selectivity and are proposed for use in the treatment of benign and malignant tumor diseases. In particular, the compds. are suitable for photodynamic antitumor therapy. Thus, the tetraarylporphyrin platinum complex (I) and the hematoporphyrin platinum complex (II) and related complexes were prepared and cytotoxic/phototoxic antiproliferative activity against model bladder cancer cell lines TCC-SUP and J82 measured.

L7 ANSWER 10 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:560856 CAPLUS
DN 140:246053
TI Synthesis and antitumor activity of novel thermosensitive platinum(II)-cyclotriphosphazene conjugates
AU Song, Soo-Chang; Lee, Sang Beom; Lee, Bae Hoon; Ha, Hyung-Wook; Lee, Kyung-Tae; Sohn, Youn Soo
CS Division of Life Science, Korea Institute of Science & Technology, Seoul, 130-650, S. Korea
SO Journal of Controlled Release (2003), 90(3), 303-311
CODEN: JCREEC; ISSN: 0168-3659
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 140:246053
AB Thermosensitive cyclotriphosphazenes bearing alkoxy poly(ethylene glycol) and amino acid esters as side groups could be functionalized to chelate the antitumor (diamine)platinum(II) moiety through the dicarboxylate group of the amino acid substituent on the cyclic phosphazene ring. Surprisingly, like the precursor cyclotriphosphazenes, these (diamine)platinum(II)-cyclotriphosphazene conjugates were also found to exhibit variable lower critical solution temps. (LCST) in the wide range of 12 to 92°. Furthermore, the present conjugates have shown outstanding in vitro and in vivo antitumor activities due to controlled release of the antitumor (diamine)platinum(II) moiety with hydrolytic degradation of the phosphazene ring. A few of these conjugates have shown LCSTs below body temperature, and it has been shown from a model animal experiment that the conjugates

with a LCST below body temperature may be applied to local drug delivery by direct intratumoral injection.

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:91150 CAPLUS
DN 138:394843
TI Synthesis and antitumor activity of DNA binding cationic porphyrin-platinum(II) complexes
AU Song, Rita; Kim, Yeong-Sang; Lee, Chong Ock; Sohn, Youn Soo
CS Division of Life Sciences, Korea Institute of Science and Technology, Seoul, 136-791, S. Korea
SO Tetrahedron Letters (2003), 44(8), 1537-1540
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 138:394843
GI



I

AB 5,10,15-Tris(N-methyl-4-pyridiniumyl)porphyrin-linked platinum(II) (TrisMPyP)-Pt(II) conjugates were synthesized, in which different spacer ligands were used for appropriate coordination to Pt(II) complexes. Platinum(II) diaminocyclohexane conjugate complex I (9b) exhibited in vivo antitumor activity (T/C%, 294) superior to cisplatin (T/C%, 184) against the leukemia L1210 animal cell line.

RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:287559 CAPLUS
DN 137:27380
TI Soluble Tetraarylporphyrin-Platinum Conjugates as Cytotoxic and Phototoxic Antitumor Agents
AU Lottner, Christian; Bart, Karl-Christian; Bernhardt, Guenther; Brunner, Henri
CS Institut fuer Anorganische Chemie and Institut fuer Pharmazie,

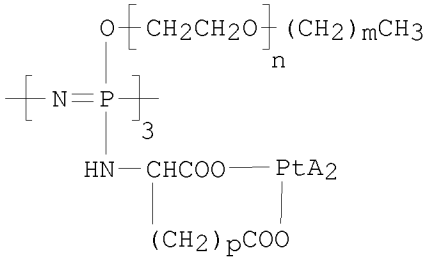
Universitaet Regensburg, Regensburg, 93040, Germany
SO Journal of Medicinal Chemistry (2002), 45(10), 2079-2089
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 137:27380
AB Asym. tetraarylporphyrins were synthesized from pyrrole, para-substituted oligo- or poly(ethylene glycol) monomethyl ether benzaldehyde and from 4-hydroxybenzaldehyde etherified with di-Et bromomalonate according to the Lindsey method. After hydrolysis of the tetraarylporphyrin esters, the resulting carboxylic acid groups were used to bind Pt fragments. In comparison to analogous hematoporphyrin-Pt conjugates, the title compds. were characterized by a 30. bathochromic shift of their absorption bands. The antiproliferative activity of 18 Pt complexes (1, 5, and 10 μM) differing in solubility, type of the Pt fragment, and the corresponding tetraarylporphyrin ligands were studied on TCC-SUP transitional bladder cancer cells in the dark and after irradiation (λ = 600-730 nm; 24 J/cm2). The most active compds. were among the tetraarylporphyrin-Pt conjugates bearing the diammine and (RR/SS)-trans-1,2-diaminocyclohexane ligands.
RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:933115 CAPLUS
DN 136:63184
TI Preparation of thermosensitive cyclotriphosphazene-platinum complex conjugate for use as anticancer agent
IN Sohn, Youn Soo; Song, Soo-chang; Lee, Sang Beom
PA Korea Institute of Science and Technology, S. Korea
SO U.S., 10 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6333422	B1	20011225	US 2001-771716	20010130 <--
	KR 2002015180	A	20020227	KR 2000-48360	20000821 <--
	CA 2388334	A1	20020228	CA 2001-2388334	20010110 <--
	CA 2388334	C	20060620		
	WO 2002016376	A1	20020228	WO 2001-KR33	20010110 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 2001027130	A	20020304	AU 2001-27130	20010110 <--
	AU 781233	B2	20050512		
	EP 1311519	A1	20030521	EP 2001-901579	20010110 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004506739	T	20040304	JP 2002-521473	20010110 <--
	JP 3677269	B2	20050727		
	CN 1195766	C	20050406	CN 2001-802468	20010110
PRAI	KR 2000-48360	A	20000821		
	WO 2001-KR33	W	20010110		

OS CASREACT 136:63184; MARPAT 136:63184

GI



I

AB The preparation is described for novel thermosensitive cyclotriphosphazene-platinum complex conjugates (I), wherein n is a repeating unit of poly(alkoxyethylene glycol) selected from the integers 2, 7 and 12; m represents the length of the alkyl chain selected from the integers 0, 1, 2 and 3; p represents the length of the anionic amino acid residue selected from the integers 0 (amino malonic acid derivs.), 1 (aspartic acid derivs.) and 2 (glutamic acid derivs.); A2 is a bidentate chelating diamine selected from the group consisting of 2,2-dimethyl-1,3-propanediamine (dmpda), trans(±)-1,2-diaminocyclohexane (dach) and 1,1-di(aminomethyl)cyclohexane (dmach).

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:380595 CAPLUS
DN 134:371817
TI Pharmaceuticals containing diaminoplatinum (II) antitumor complexes
IN Uckun, Fatih M.; Narla, Rama K.
PA Parker Hughes Institute, USA
SO PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001036431	A1	20010525	WO 2000-US31297	20001115 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 20030176410	A1	20030918	US 2002-146971	20020515 <--
	US 6737537	B2	20040518		
PRAI	US 1999-165652P	P	19991115		
	WO 2000-US31297	A1	20001115		

OS MARPAT 134:371817
AB The present invention describes diaminoplatinum (II) compds. and compns. useful for treating a subject with a tumor and/or inducing apoptosis in a population of cells. The present invention also describes pharmaceutical compns. containing the compds. in combination with an acceptable carrier. Addnl., the invention further provides a method of inducing apoptosis in a

population of cells and a method of treating a subject with a tumor, wherein the method comprises administering to the subject a therapeutically effective amount of the aforementioned compds. or compns. Tablet contained a diaminoplatinum complex 100.0, lactose 77.5, Povidone 15.0, Croscarmellose sodium 12.0, microcryst. cellulose 92.5, and Mg stearate 3.0 mg/tablet. The platinum complex showed antitumor activity against acute lymphoblastic leukemia cells.
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2000:894147 CAPLUS
DN 134:231498
TI Synthesis and antitumor activity of cyclotriphosphazene-(diamine)platinum(II) conjugates
AU Baek, Hyounggee; Cho, Yangha; Lee, Chong Ok; Sohn, Youn Soo
CS Inorganic Chemistry Laboratory, Korea Institute of Science and Technology, Seoul, 130-650, S. Korea
SO Anti-Cancer Drugs (2000), 11(9), 715-725
CODEN: ANTDEV; ISSN: 0959-4973
PB Lippincott Williams & Wilkins
DT Journal
LA English
OS CASREACT 134:231498
AB A new class of water-soluble cyclotriphosphazene-(diamine)platinum(II) conjugate drugs [NP(Am·Li2)(Am·PtA2)]3 (Am: dicarboxylic amino acid; A2: diamine) has been synthesized and characterized by elemental anal., multinuclear (1H, 31P, 13C, 195Pt) NMR and IR spectroscopies. All the title compds. were subjected to both in vitro and in vivo assays against the murine leukemia L 1210 cell line and selected human tumor cells. Most of the title compds. have shown higher in vivo antitumor activity than cisplatin and carboplatin, and, in particular, {NP(L-Glu·Li2)[L-Glu·Pt(-dach)]3} (Glu=glutamate, dach=trans(±)-1,2-diminocyclohexane) showed extraordinary high activity (ILS>500%) equally against both parent and cisplatin-resistant leukemia L 1210 cell lines. Furthermore, this candidate compound (KI 60606) exhibited a wider spectrum of in vitro activity by showing higher cytotoxicity against all the selected human tumor cells than cisplatin and, therefore, was subjected to preclin. studies which are now near completion.
RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2000:707175 CAPLUS
DN 133:290337
TI Platinum complex conjugated to cyclotriphosphazene, its preparation, and anticancer agent comprising the same
IN Sohn, Youn Soo; Baek, Hyoung Gee; Lee, Chong Ok
PA Korea Institute of Science and Technology, S. Korea; Il-Yang Pharm. Co., Ltd.
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000058321	A1	20001005	WO 1999-KR771	19991214 <--
	W: CA, JP				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	KR 2000061478	A	20001025	KR 1999-10532	19990326 <--

	CA 2323140	A1	20001005	CA 1999-2323140	19991214 <--
	EP 1082331	A1	20010314	EP 1999-959983	19991214 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002540212	T	20021126	JP 2000-608021	19991214 <--
	US 6221906	B1	20010424	US 2000-517718	20000302 <--
PRAI	KR 1999-10532	A	19990326		
	WO 1999-KR771	W	19991214		

OS MARPAT 133:290337

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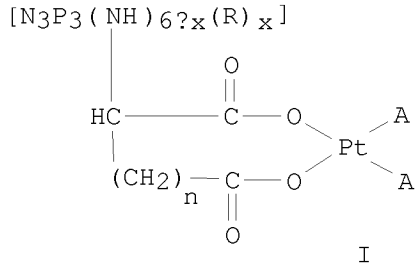


I

AB The present invention relates to platinum complexes conjugated to a cyclotriphosphazene, I [R = solubilizing agent selected from MeNH2, MeO, and amino acid; A = NH3 or A2 = bidentate chelating diamine selected from NH2CH2CH2NH2 (en), 2,2-dimethyl-1,3-propanediamine (dmpda), 2,2-bis(aminomethyl)-1,3-propanediol (bampd), trans-(±)-1,2-diaminocyclohexane], and a method for their preparation The Pt complexes can be used as an anticancer agent. Thus, the oligomeric platinum complex is prepared by (1) substitution of hexachlorocyclotriphosphazene with a solubilizing agent and a dicarboxylic amino acid derivative as spacer, and (2) conjugation of the platinum complex to the spacer group. The oligomer platinum complexes have a lower toxicity (mouse LD50 = 125-250 mg/kg) compared to cisplatin (LD50 = 13 mg/kg), a higher anticancer activity (ILS(%) ≥ 500), and it does not exhibit anaphylactic reaction, unlike polymeric platinum complexes developed previously by the present inventors. Also, the claimed compds. exhibit a wider spectrum of activity in that it shows high anticancer activity to non-small cell lung cancer that is not cured by cisplatin-based regimens.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1999:17755 CAPLUS
DN 130:162256
TI Linkage Isomerism Dependent on Solvent and Temperature. Synthesis and Structural Properties of Diamineplatinum(II) Complexes of Allyl- and Diallylmalonate Ligands
AU Lee, Young-A.; Chung, Young Keun; Sohn, Youn Soo
CS Inorganic Chemistry Laboratory, Korea Institute of Science and Technology, Seoul, 130-650, S. Korea
SO Inorganic Chemistry (1999), 38(3), 531-537
CODEN: INOCAJ; ISSN: 0020-1669
PB American Chemical Society
DT Journal
LA English
AB The linkage isomerism between (O,O')- and (O,alkene)-chelates was studied for the complexes A2PtL2 (A2 = 2,2-dimethyl-1,3-propanediamine (DMPDA), trans-(±)-1,2-diaminocyclohexane (DACH); L2 = allylmalonate (AM),

diallylmalonate (DAM)). The crystal structures of (DMPDA)Pt(AM)·2H2O (tetragonal space group P42/m, a 13.614(3), b 13.614(3), c 8.451(4) Å, Z = 4, R = 0.0472) and (DMPDA)Pt(DAM)·2H2O (monoclinic space group P21/n, a 11.021(3), b 8.996(2), c 18.765(7) Å, β 106.92(3)°, Z = 4, R = 0.0531) were solved. Each platinum atom adopts a typical square planar arrangement with two nitrogen atoms in cis positions. However, surprisingly, the AM anionic ligand is coordinated to the platinum atom via (O,O')-chelation mode through its two carboxylate groups with the alkene group uncoordinated in the solid state, breaking the hard/soft rule. The tetradentate DAM ligand is chelated to the platinum atom through one carboxylate and one alkene group resulting in the (O,alkene)-chelation mode with another uncoordinated carboxylate and alkene group. Multinuclear (1H, 13C, and 195Pt) NMR studies clearly disclose that the linkage isomerism depends on the solvents employed. Both allyl- and diallylmalonate ligands are chelated exclusively to the platinum(II) atom via (O,O')-mode in DMF or Me2SO solution whereas only (O,alkene)-chelation mode is observed in an aqueous solution At room temperature, the complexes both of the AM and DAM ligands exist in methanol as a mixture of (O,O')- and (O,alkene)-modes. Also, interconversion between the two isomers occurs reversibly depending on temperature: the (O,alkene)-chelate is predominant at low temps. while the (O,O')-chelate is favorable at elevated temps.

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1998:542949 CAPLUS
DN 129:180135
OREF 129:36505a,36508a
TI Lipid complexes and liposomes of highly insoluble platinum complexes
IN Cherian, Mathew
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9833481	A1	19980806	WO 1998-US35	19980128 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2279279	A1	19980806	CA 1998-2279279	19980128 <--
	CA 2279279	C	20081014		
	AU 9860154	A	19980825	AU 1998-60154	19980128 <--
	AU 749220	B2	20020620		
	EP 975329	A1	20000202	EP 1998-903358	19980128 <--
	EP 975329	B1	20041208		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	HU 2000001266	A2	20001128	HU 2000-1266	19980128 <--
	HU 2000001266	A3	20010228		
	NZ 337502	A	20010223	NZ 1998-337502	19980128 <--
	BR 9815445	A	20010925	BR 1998-15445	19980128 <--

	JP 2002513396	T	20020508	JP 1998-532884	19980128 <--
	CN 1096263	C	20021218	CN 1998-807276	19980128 <--
	IL 131008	A	20030624	IL 1998-131008	19980128 <--
	AT 284204	T	20041215	AT 1998-903358	19980128 <--
	PT 975329	T	20050331	PT 1998-903358	19980128
	ES 2234094	T3	20050616	ES 1998-903358	19980128
	PL 192633	B1	20061130	PL 1998-334940	19980128
	US 20010010822	A1	20010802	US 1999-341988	19990721 <--
	US 6287593	B2	20010911		
	MX 9907110	A	20000630	MX 1999-7110	19990730 <--
	NO 9903750	A	19990803	NO 1999-3750	19990803 <--
	HK 1029059	A1	20030627	HK 2000-108452	20001228 <--
	HK 1054201	A1	20050909	HK 2003-106511	20030911
PRAI	US 1997-37377P	P	19970205		
	WO 1998-US35	W	19980128		

OS MARPAT 129:180135

AB A pharmaceutical composition comprising a lipid complex or a liposome of a phospholipid and a water-insol. platinum dicarboxylate and method for the preparation of such compns. are described. Diaminocyclohexane platinum malonate (I) was prepared in a lipids solution containing dimyristoylphosphatidylcholine and dimyristoylphosphatidylglyerol to give a lipid complex suspension. The antitumor activity of I was studied.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 19 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1997:779851 CAPLUS

DN 128:110499

OREF 128:21517a,21520a

TI Synthesis and antitumor activity of (diamine)platinum(II) complexes of benzylmalonate derivatives

AU Lee, Young-A.; Chung, Young Keun; Sohn, Youn Soo

CS Inorganic Chemistry Laboratory, Korea Institute of Science and Technology, Seoul, 130-650, S. Korea

SO Journal of Inorganic Biochemistry (1997), 68(4), 289-294
CODEN: JIBIDJ; ISSN: 0162-0134

PB Elsevier Science Inc.

DT Journal

LA English

AB (Diamine)platinum(II) complexes of benzylmalonate derivs. as a leaving group designed in a wide range of lipophilicity and water-solubility were prepared and their antitumor activities were attempted to correlate to their lipophilicity or solubility A good relationship was observed between their in vitro toxicity and solubility of the title complexes with the same carrier ligand, DACH (trans-(±)-1,2-diaminocyclohexane): The most soluble complexes are most cytotoxic whereas the least soluble complexes are least cytotoxic. However, no relationship could be established between their in vivo activity and their lipophilicity or solubility presumably due to other pharmacokinetic factors involved in vivo. The mol. structure of (IPA)2Pt(DBM) · 2CH3 OH (IPA = isopropylamine; DBM = dibenzylmalonate) was determined by X-ray diffraction: space group P21/n, a = 11.433 (3), b = 14.461 (4), c = 17.478 (4) Å, β = 97.25 (3)°, z = 4, R = 0.0437.

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 20 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1997:752574 CAPLUS

DN 128:84035

OREF 128:16245a,16248a

TI Anthraquinone intercalators as carrier molecules for second-generation platinum anticancer drugs

AU Gibson, D.; Binyamin, I.; Haj, M.; Ringel, I.; Ramu, A.; Katzhendler, J.
CS Department of Pharmaceutical Chemistry, School of Pharmacy, The Hebrew University of Jerusalem, Jerusalem, Israel
SO European Journal of Medicinal Chemistry (1997), 32(10), 823-831
CODEN: EJMCA5; ISSN: 0223-5234
PB Editions Scientifiques et Medicales Elsevier
DT Journal
LA English
AB A series of complexes PtAm2L [where Am2 = (NH3)2, ethylenediamine(en), 1,2-diaminocyclohexane (DACH) or (NH3)(c-C6H11NH2) and where L is a bidentate 1,1-dicarboxylate ligand tethered to 1-aminoanthraquinone by various spacers] was prepared and screened in vitro against p388 leukemia cells. The free ligands displayed moderate activity and the corresponding platinum complexes were tenfold more active. The nature of the linker chain does not seem to affect the potency of the complexes. The potency depends on the nature of the inert amine ligand [NH3 > DACH > en]. The low aqueous solubility of these complexes prevented any in vivo studies and the preparation of water soluble analogs is currently under way.
RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 21 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1997:250171 CAPLUS
DN 126:232711
OREF 126:44854h,44855a
TI Manufacture of high-purity cyclohexanediamine platinum complex for antitumor agent
IN Yanai, Junichi; Nakanishi, Chihiro
PA Tanaka Precious Metal Ind, Japan
SO Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 09040685	A	19970210	JP 1995-209149	19950725 <--
	JP 3022264	B2	20000315		
	CN 1150587	A	19970528	CN 1996-111312	19960725 <--
	CN 1067400	C	20010620		
PRAI	JP 1995-209149	A	19950725		
	JP 1996-86954	A	19960410		

AB PtL2Q (I; L = l-trans-1,2-cyclohexanediamine; H2Q = HO2CCO2H, HO2CRCO2H (R = CH2, CHMe, 1,1-cyclobutanediyl, 4-carboxy-1,2-phenylene), HO2CCH2OH) are manufactured by treating PtL(H2O)2 with H2Q with control of pH to 3.0-6.0 by addition of an alkali solution I with high purity was obtained with high yield.

L7 ANSWER 22 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1996:744327 CAPLUS
DN 126:84087
OREF 126:16065a,16068a
TI Chemical and biological studies on a series of novel (trans-(1R,2R)-, trans-(1S,2S)-, and cis-1,2-diaminocyclohexane)platinum(IV) carboxylate complexes
AU Khokhar, Abdul R.; Al-Baker, Salam; Shamsuddin, Shaikh; Siddik, Zahid H.
CS Department of Clinical Investigation, University of Texas M. D. Anderson Cancer Center, Houston, TX, 77030, USA
SO Journal of Medicinal Chemistry (1997), 40(1), 112-116
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal

LA English
AB A series of novel platinum(IV) complexes of the type DACH-PtIV-trans-(Y)2-cis-X (where DACH = trans-(1R,2R)-, trans-(1S,2S)-, or cis-1,2-diaminocyclohexane; X = diacetate, bis(trifluoroacetate), oxalate, malonate, methylmalonate, ketomalonate, cyclobutanecarboxylate (CBCA), or 1,1-cyclobutanedicarboxylate (CBDCA); and Y = acetate or trifluoroacetate) has been synthesized and characterized by elemental anal., IR, and 195Pt-NMR spectroscopy. The compds. have been tested against cisplatin-sensitive L1210/0 leukemia, cisplatin-resistant L1210/DDP leukemia, and M5076 reticulosarcoma cell lines in vivo. Most of these analogs displayed reasonable activity against L1210/0 cells (%T/C = 135 to >700). There were no gross differences in activity between analogs containing isomers of DACH. Selected compds. were evaluated against L1210/DDP tumor models in which they demonstrated reduced but significant activity compared with activity in the L1210/0 model. Interestingly, PtIV(trans-1R,2R-DACH)-trans-(acetate)2-methylmalonate was highly active against M5076, although it had no activity against the L1210 lines. The results demonstrate that specific combinations of axial and equatorial carboxylate ligands, together with the DACH carrier ligand, can favorably modulate the antitumor properties of platinum complexes and enhance circumvention of cisplatin resistance.

L7 ANSWER 23 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1996:224188 CAPLUS
DN 124:330743
OREF 124:61035a,61038a
TI Methods for the preparation of organoplatinum compounds suitable for noncovalent attachment to water-soluble polymers
AU Howell, B. A.; Richards, R. M.
CS Center Applications Polymer Science, Central Michigan University, Mt. Pleasant, MI, 48859, USA
SO Polymeric Materials Science and Engineering (1996), 74, 274-5
CODEN: PMSEDG; ISSN: 0743-0515
PB American Chemical Society
DT Journal
LA English
AB Treatment of diaquo(trans-1,2-diaminocyclohexane)platinum(II) with the appropriate 2-arylmalonic acid is the best method in preparation of Pt compds. suitable for attachment to water-soluble polymers.

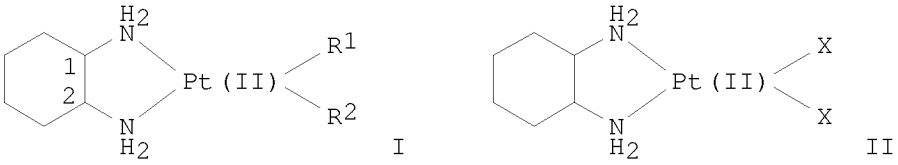
L7 ANSWER 24 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1996:121452 CAPLUS
DN 124:192390
OREF 124:35275a,35278a
TI Unique Fluxional Behavior. Synthesis, Structure, and Properties of Novel (Diamine)platinum(II) Complexes of 9-Fluorenylidene- and Benzhydrylidenemalonate Ligands
AU Lee, Young-A; Jung, Ok-Sang; Kang, Seong-Joo; Lee, Kang-Bong; Sohn, Youn Soo
CS Inorganic Chemistry Laboratory, Korea Institute of Science and Technology, Seoul, 130-650, S. Korea
SO Inorganic Chemistry (1996), 35(6), 1641-6
CODEN: INOCAJ; ISSN: 0020-1669
PB American Chemical Society
DT Journal
LA English
AB New (diamine)platinum(II) complexes A2PtX2 (A2 = trans-(±)-1,2-diaminocyclohexane (DACH), tetrahydro-4H-pyran-4,4-diylbis(methylamine) (THPDMA); X2 = 9-fluorenylidenemalonate (FM), benzhydrylidenemalonate (BHM)) were synthesized and characterized by multinuclear NMR spectroscopy and x-ray anal. (DACH)Pt(FM) crystallizes in space group P21/c with eight formula

units with a 20.071(7), b 12.717(3), c 24.512(6) Å, β 103.25(2)°. (DACH)Pt(BHM) crystallizes in space group P.hivin.1 with four mol. units with a 11.048(3), b 13.639(3), c 14.043(6) Å, α 90.17(3), β 91.31(4), γ 89.98(3)°. The Pt atom in both complexes adopts a typical square planar arrangement with two N atoms in cis position. The 9-fluorenylidene and benzhydrylidene groups of the amine ligands chelated to Pt are strikingly bent up by 88.8(3) and 80.8(2)°, resp., from the Pt square plane in the solid state. Variable temperature 1H NMR spectra of the title complexes in DMSO solution reveals that the amine proton resonances are sensitive to the fluxional motion of the remote arylidene groups, and suggests that interconversion occurs between two bent-up and bent-down forms. The prominent difference between the FM and BHM complexes is observed in solution, due to the presence or absence of the angle constraint of the anionic coligands.

L7 ANSWER 25 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1995:884008 CAPLUS
DN 123:305193
OREF 123:54391a,54394a
TI preparation of cyclohexanediamine-platinum complexes in high purity
IN Oonishi, Hiroko
PA Tanaka Precious Metal Ind, Japan
SO Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 07025890	A	19950127	JP 1993-194283	19930709 <--
PRAI JP 1993-194283		19930709		

OS MARPAT 123:305193
GI



AB The title complexes [I; R1R2 = dibasic acid residue such as oxalyl, malonyl, etc.], useful as anticancer agents (no data), are prepared in high purity by reaction of dihalo complexes II (X = Br, Cl) with dibasic acids at pH 1.0-2.0. Reaction of trans-1,2-diaminocyclohexane with K2PtCl6 in H2O gave trans-II (X = Cl), which was treated with aqueous AgNO3 at room temperature, the filtrate was concentrated and treated with KI, the iodide ppts. were filtered, the filtrate was adjusted to pH 7.0 with 2N NaOH and filtered again, the filtrate was acidified to pH 2.0 with 2N HNO3 and then treated with aqueous oxalic acid to give 60% 1,2-trans-I (R1R2 = oxalyl) containing < 5 ppm Cl- or I-, vs. a brownish-yellow impure product without the acidification process.

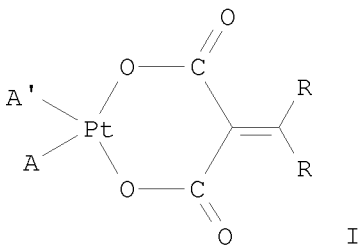
L7 ANSWER 26 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1995:771420 CAPLUS
DN 123:216836

OREF 123:38269a,38272a
TI Synthesis and properties of diamine(isopropylidenemalonato)platinum(II): crystal structure of O(CH2CH2)2C(CH2NH2)2Pt(OOC)2C=C(CH3)2
AU Lee, Young-A.; Jung, Ok-Sang; Sohn, Youn Soo; Lee, Kang Bong
CS Inorg. Chem. Lab., Korea Inst. Sci. Technol., Seoul, 136-791, S. Korea
SO Polyhedron (1995), 14(15/16), 2099-106
CODEN: PLYHDE; ISSN: 0277-5387
PB Elsevier
DT Journal
LA English
AB New Pt(II) complexes of A2Pt(IPM) [A2 = tetrahydro-4H-pyran-4,4-di(methylamine) (THPDMA), 2,2-dimethyl-1,3-propanediamine (DMPDA), trans-(±)-diaminocyclohexane (DACH); A = NH3, isopropylamine (IPA), cyclopropylamine (CPA); IPM = isopropylidenemalonate] were synthesized and characterized by x-ray crystallog. and various spectroscopies. The crystal structure of (THPDMA)Pt (IPM).5H2O was determined The Pt atom adopts a typical square planar arrangement with two N atoms in the cis positions. The mol. structures are retained in aqueous solution at room temperature However, the present complexes change to DMSO adducts on standing for a long time or increasing temperature in DMSO: the monoedentate amine complex produces (A)(DMSO)Pt(OOC)2C=CMe2, whereas the chelate amine analog affords A2Pt+(DMSO)(OOC)C(COO-)=CMe2.

L7 ANSWER 27 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1995:690268 CAPLUS
DN 123:186925
OREF 123:32913a,32916a
TI Platinum complexes of malonic acid derivatives and process for the preparation thereof
IN Sohn, Youn S.; Jung, Ok S.; Lee, Young A.; Kim, Kwan M.
PA Korea Institute of Science and Technology, S. Korea
SO U.S., 10 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5426203	A	19950620	US 1994-178674	19940107 <--
	KR 9710594	B1	19970628	KR 1993-21558	19931016 <--
PRAI	KR 1993-21558	A	19931016		

OS MARPAT 123:186925
GI



I

AB Novel Pt amine complexes with malonate derivative anionic ligands (I) are prepared Thirty one examples are reported in which R = alkyl or R-R = (CH2)n (n = 2,3,4,5); A = A' = NH3, iso-PrNH2 or A-A' = cyclic diamine, or

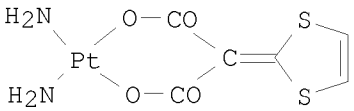
A = aliphatic amine and A' = cyclic amine. Antitumor activity and toxicity data are given for 6 of the products.

L7 ANSWER 28 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1995:621688 CAPLUS
DN 123:24614
OREF 123:4355a,4358a
TI Anti-tumor platinum(IV) complex.
IN Kidani, Yoshinori; Komoda, Yasunobu
PA Tanaka Kikinzoku Kogyo K.K., Japan
SO Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 646589	A2	19950405	EP 1994-202874	19941004 <--
	EP 646589	A3	19950628		
	R: CH, DE, ES, FR, GB, IT, LI, NL				
	JP 07101969	A	19950418	JP 1993-271246	19931004 <--
	JP 07101970	A	19950418	JP 1993-271247	19931004 <--
	JP 07138274	A	19950530	JP 1993-307168	19931112 <--
	US 5648384	A	19970715	US 1994-317919	19941004 <--
PRAI	JP 1993-271246	A	19931004		
	JP 1993-271247	A	19931004		
	JP 1993-307168	A	19931112		

OS MARPAT 123:24614
AB Disclosed is an antitumor liposol. platinum(IV) complexes having Formula [(A-A)PtX4] [A-A = 1,2-cycloalkanediamine, 2-aminomethylcyclohexylamine, 1,1-di(aminomethyl)cyclohexane (preferably 1,2-cyclohexanediamine); X = Br-, I-, F-] and a Formula [(A-A)PtL2X2] [L2 = a ligand forming a five or six membered ring via O-O coordination, such as oxalate and malonate; X = Br-, I-, F-, carboxylate, carbonate, carbamate, sulfate and phosphate]. Because these complexes have liposol. groups, they are effective for various internal organ tumors or cancers.

L7 ANSWER 29 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1995:249678 CAPLUS
DN 122:46285
OREF 122:8685a,8688a
TI Synthesis, structure, and antitumor activity of 1,3-dithiol- and 1,3-dithiolan-2-ylidenemalonatoplatinum(II) complexes
AU Sohn, Youn Soo; Kim, Kwan Mook; Jeong, Jong Hwa; Noh, Dong Youn; Lee, Chong Ock; Choi, Sang Un
CS Korea Inst. Sci. and Technology, Seoul, S. Korea
SO Journal of Inorganic Biochemistry (1994), 54(2), 107-14
CODEN: JIBIDJ; ISSN: 0162-0134
PB Elsevier
DT Journal
LA English
GI



I

AB 1,3-Dithiol- and 1,3-dithiolan-2-ylidenemalonatoplatinum(II) complexes

A2Pt(OOC)2C=CR2 (A = NH3, cyclopropylamine (CPA) or A2 = ethylenediamine(EDA), trans-(±)-1,2-diaminocyclohexane(DACH); R2 = SCH=CHS, SCH2CH2S) have been synthesized and subjected to in vivo assay for antitumor activity after characterization by means of elemental anal., IR spectroscopy, and x-ray anal. The mol. structure of I has been determined by x-ray diffraction: space group P21/n, a = 7.955(1), b = 16.912(2), c = 15.116(2) Å, β = 102.74(1)°, z = 4, R = 0.032, RW = 0.035. Among the Pt(II) complexes studied, biscyclopropylamineplatinum(II) complexes both of the above-mentioned dicarboxylate leaving groups exhibited much higher antitumor activity against the leukemia L1210 cell line compared with the known cisplatin.

L7 ANSWER 30 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1994:644146 CAPLUS
DN 121:244146
OREF 121:44281a,44284a
TI Synthesis and characterization of new antitumor trans-R,R-, trans-S,S- and cis-1,2-diaminocyclohexane platinum(IV) complexes
AU Al-Baker, Salaam; Siddik, Zahid H.; Khokhar, Abdul R.
CS M. D. Anderson Cancer Cent., Univ. Texas, Houston, TX, 77030, USA
SO Journal of Coordination Chemistry (1994), 31(2), 109-16
CODEN: JCCMBQ; ISSN: 0095-8972
DT Journal
LA English
AB Isomeric 1,2-diaminocyclohexane Pt(IV) complexes DACH-PtIV-trans(X)2cis(Z) (DACH = trans-R,R-, trans-S,S- or cis-1,2-diaminocyclohexane, X = chloro, bromo, acetato, or trifluoroacetato, and Z = dichloro, dibromo, 1,1-cyclobutanedicarboxylato, tartronato, ketomalonato, or methylmalonato) were synthesized. The isomeric DACH-PtIV-trans(X)2cis(Z) complexes were prepared by 1st oxidizing the corresponding DACH-dihaloplatinum(II) or DACH-dicarboxylato-Pt(II) [DACH-PtIIZ] with H2O2 to DACH-PtIV-trans(OH)2Z, and then replacing the axial hydroxo groups with chloro, bromo, or monocarboxylato ligands. These complexes were characterized by elemental anal., and IR and NMR (195Pt{1H}) spectroscopic techniques.

L7 ANSWER 31 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1994:498365 CAPLUS
DN 121:98365
OREF 121:17418h,17419a
TI Synthesis and antitumor activity of 1,2-diaminocyclohexane platinum(IV) complexes
AU Khokhar, Abdul R.; Al-Baker, Salaam; Siddik, Zahid H.
CS M.D. Anderson Cancer Cent., Univ. Texas, Houston, TX, USA
SO Journal of Inorganic Biochemistry (1994), 54(1), 39-47
CODEN: JIBIDJ; ISSN: 0162-0134
DT Journal
LA English
AB The synthesis, characterization, and antitumor activity of Pt(IV) complexes DACH-PtIV(X)2Y (DACH = trans-dL-, or trans-l-1,2-diaminocyclohexane, X = OH or Cl, and Y = oxalato, malonato, methylmalonato, tartronato, keto-malonato, 1,1-cyclopropanedicarboxylato, or 1,1-cyclobutanedicarboxylato) are described. These complexes were characterized by elemental anal., HPLC, and IR and 195Pt NMR spectroscopic techniques. The complexes had good in vitro cytotoxic activity (IC50 = 0.14-7.6 μg/mL) and were highly active in vivo against leukemia L1210 cells (%T/C = 152- > 600, cisplatin = 218). Excellent in vivo antitumor activities against B16 melanoma (%T/C = 309), M5076 reticulosarcoma (100% cures) and cisplatin-resistant L1210/DDP (%T/C = 217) cell lines were also exhibited by an analog selected for further evaluation.

L7 ANSWER 32 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1994:337788 CAPLUS

DN 120:337788
OREF 120:59152h,59153a
TI Diamine platinum(IV) complexes having mixed carboxylate ligands as antitumor agents
IN Khokhar, Abdul R.; Siddik, Zahid H.; Al-Baker, Salaam
PA Board of Regents, University of Texas System, USA
SO U.S., 8 pp. Cont.-in-part of U.S. Ser. No 927,201.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

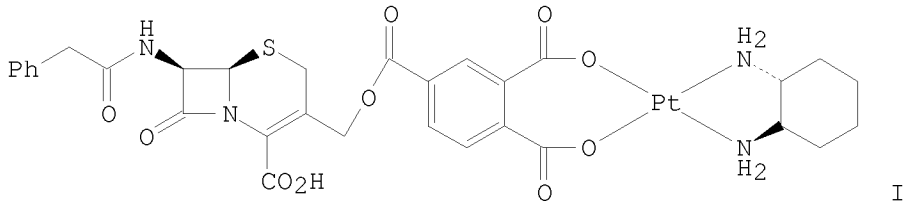
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5288887	A	19940222	US 1992-978788	19921119 <--
	US 5288887	B1	19960312		
	US 5041578	A	19910820	US 1988-274824	19881122 <--
	US 5318962	A	19940607	US 1992-927201	19920807 <--
	US 5393909	A	19950228	US 1994-200395	19940223 <--
	US 5434256	A	19950718	US 1994-316139	19940930 <--
PRAI	US 1988-274824	A3	19881122		
	US 1990-624795	B2	19901207		
	US 1992-927201	A2	19920807		
	US 1992-978788	A2	19921119		
	US 1994-200395	A2	19940223		

OS MARPAT 120:337788
GI For diagram(s), see printed CA Issue.
AB Pt(V) complexes with mixed carboxylato ligands I (X1 and X2 are carboxylato, or are jointly dicarboxylato, 1Y and Y2 are carboxylato, and Z is either diaminocyclohexane or ethylenediamine) were prepd, and have desirable antitumor activity, as well as relatively low levels of toxicity.

L7 ANSWER 33 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1994:152185 CAPLUS
DN 120:152185
OREF 120:26521a,26524a
TI Hydrophilic analogs of (R,R)-diaminocyclohexane dichloroplatinum (DACH) and the influence of relative stereochemistry on antitumor activity
AU Hanessian, Stephen; Wang, Jianguo
CS Dep. Chem., Univ. Montreal, Montreal, QC, H3C 3J7, Can.
SO Canadian Journal of Chemistry (1993), 71(12), 2102-8
CODEN: CJCHAG; ISSN: 0008-4042
DT Journal
LA English
AB Analogs of (R,R)-1,2-diaminocyclohexane dichloroplatinum(II) (DACH) containing stereochem. defined hydroxy groups and appropriate acidic leaving groups were synthesized and tested as antitumor agents. The (1α,2β,3α,4β)-1,4-dihydroxy-2,3-diaminocyclohexane analog showed the highest potency against P388 leukemia in mice. Increasing the hydrophilicity of the Pt complex to a certain extent could improve the antitumor activity of the drug. The stereochem. disposition of the substituents on the cyclohexane ring probably affects the antitumor activity.

L7 ANSWER 34 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1994:22366 CAPLUS
DN 120:22366
OREF 120:4021a,4024a
TI Design and synthesis of a cephalosporin-carboplatinum prodrug activatable by a β-lactamase
AU Hanessian, Stephen; Wang, Jianguo
CS Dep. Chem., Univ. Montreal, Montreal, QC, H3C 3J7, Can.

SO Canadian Journal of Chemistry (1993), 71(6), 896-906
CODEN: CJCHAG; ISSN: 0008-4042
DT Journal
LA English
GI



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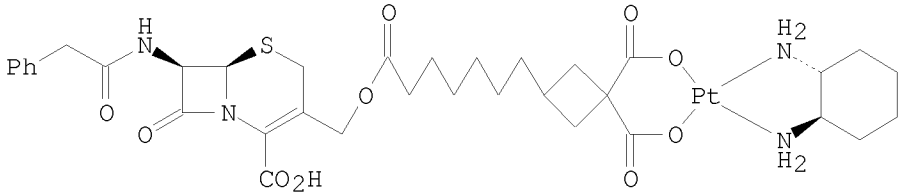


II

AB The design and syntheses of 2 cephalosporin-carboplatinum prodrugs I and II that can be released by a β-lactamase are described. The hydrolysis of cephalosporins catalyzed by a β-lactamase with acetyl or DACCP as 3'-leaving groups is studied by 1H NMR in deuterated buffer solns. These notions provide a new approach to the use of Pt complexes for antitumor therapy.

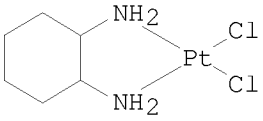
L7 ANSWER 35 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1993:594381 CAPLUS
DN 119:194381
OREF 119:34413a,34416a
TI Platinum(II) complexes of functionalized malonato ligands: unequivocal synthesis, interaction with a tetradeoxyribonucleotide and deoxyribonucleic acid
AU Laurent, Jean Pierre; Morvan, Bernard
CS Lab. Chim. Coord., Univ. Paul Sabatier, Toulouse, 31077, Fr.
SO Journal of the Chemical Society, Dalton Transactions: Inorganic Chemistry (1972-1999) (1993), (14), 2141-5
CODEN: JCDTBI; ISSN: 0300-9246
DT Journal
LA English
AB The unequivocal syntheses of 4 cis-[PtL2{(O2C)2CH(CH2)nCO2H}] complexes (L2 = (NH3)2 or trans-cyclohexane-1,2-diamine, n = 1 or 4] was achieved, avoiding any interaction between the pendant carboxyl group and the Pt. The complexes were characterized by elemental anal., 13C NMR and FAB mass spectrometry. Their interaction with a tetradeoxyribonucleotide d(T-G-G-T) (G = guanosine, T = ribosylthymine) and DNA (in vitro) was studied to show that they form [PtL2{(GpG)-N7,N7'}] as do the known therapeutically active Pt complexes. However the presence of the free carboxyl function increases significantly the reactivity with respect to that of the related nonfunctionalized malonato complexes [PtL2{H2C(CO2)2}].

L7 ANSWER 36 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1993:461722 CAPLUS

DN 119:61722
OREF 119:10895a,10898a
TI Preparation of platinum complexes as antitumor agents
IN Kitani, Yoshinori; Nomichi, Masahide; Onishi, Junji; Okamoto, Koji
PA Tanaka Kikinzoku Kogyo K. K., Japan
SO Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 04330090	A	19921118	JP 1991-25693	19910126 <--
PRAI JP 1991-25693		19910126		

GI



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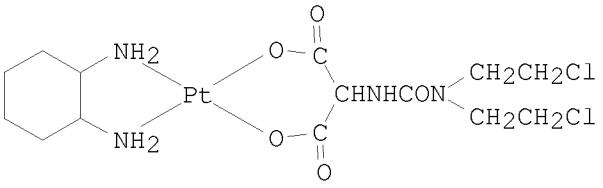


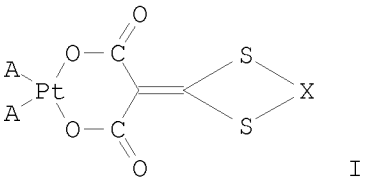
III

AB Pt complexes with nitrogen mustards and L-phenylalanine mustards, useful as antitumor agents, are prepared Reaction of (ClCH2CH2)2NH.HCl with triphosgene in CHCl3 gave 81% (ClCH2CH2)2NCOCl, which was treated with H2NCH(CO2Et)2.HCl and Et3N in CHCl to give (ClCH2CH2)2NCONHCH(CO2R)2 (I; R = Et). Acid hydrolysis of the above ester gave acid I (R = H), which was dissolved in MeOH and treated with Pt complex II to give nitrogen mustard complex III, which showed 247% increase in survival rate at 12.5 mg/kg in mice transplanted with L-1210 leukemic cells, vs. 154% with a reference

L7 ANSWER 37 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1993:115678 CAPLUS
DN 118:115678
OREF 118:19916h,19917a
TI Anti-tumor platinum(II) complexes and process for the preparation thereof
IN Sohn, Youn S.; Kim, Kwan M.
PA Korea Institute of Science and Technology, S. Korea
SO U.S., 6 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5142075	A	19920825	US 1991-797125	19911122 <--
GB 2257138	A	19930106	GB 1991-22559	19911024 <--
GB 2257138	B	19950222		
FR 2678623	A1	19930108	FR 1991-13278	19911028 <--
FR 2678623	B1	19960308		
DE 4137930	A1	19930114	DE 1991-4137930	19911118 <--
DE 4137930	C2	19940217		

JP 05078378 A 19930330 JP 1992-56644 19920210 <--
JP 06089011 B 19941109
PRAI KR 1991-11401 A 19910705
OS MARPAT 118:115678
GI



I

AB Antitumor Pt complexes are represented by the formula I, where A is selected from ammine and monodentate primary alkyl- and cycloalkylamines having 1-3 C atoms, such as Me, Et, n-Pr, iso-Pr, and cyclopropylamines, or the 2 amine groups may be combined to be a bidentate diamine of the chelating form AA, such as ethylenediamine, 1,2-diaminocyclohexane, and 2-hydroxy-1,3-diaminopropane, and X is either vinylene (-CH=CH-) or ethylene (-CH2-CH2-) when it is bound to 2 S atoms in a cyclic form or represents two Me groups sep. bound to each S atom. Tests in mice against leukemia L1210 cells were performed, and data reported.

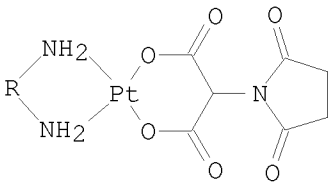
L7 ANSWER 38 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1993:115521 CAPLUS
DN 118:115521
OREF 118:19893a,19896a
TI Preparation, characterization and antileukemic properties of diaminemalonatoplatinum(II) complexes tethered to ferrocene
AU Rosenfeld, Ayelet; Blum, Jochanan; Gibson, Dan; Ramu, Avner
CS Dep. Org. Chem., Hebrew Univ., Jerusalem, 91904, Israel
SO Inorganica Chimica Acta (1992), 201(2), 219-21
CODEN: ICHAA3; ISSN: 0020-1693
DT Journal
LA English
AB In search for new antitumor agents with target specificity, 4 complexes were prepared in which diaminemalonatoplatinum(II) moieties are covalently tethered to ferrocene - an organ specific biol. carrier. PtL2X (H2X = (ferrocenemethyl)propanedioic acid; L2 = (NH3)2, bis(aminocyclobutane), cis- and trans-1,2-diaminocyclohexane) were characterized by 195Pt NMR spectroscopy and elemental anal. Their activity was assessed in vitro against P388 leukemia cells. They showed considerable activity (ED50 ≈ 5-45 μM) though to a smaller extent than cis-Pt(NH3)2Cl2. They are more active than the complexes in which a bis(phosphinecatecholato)platinum(II) moiety was tethered to ferrocene or to ruthenocene.

L7 ANSWER 39 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1992:663658 CAPLUS
DN 117:263658
OREF 117:45385a,45388a
TI Cis ammine platinum complexes and antitumor agents containing the complexes
IN Namita, Takeshi; Kaneko, Tatsuya; Muto, Masato
PA Toray K. K., Japan
SO Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF

DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 04069393	A	19920304	JP 1990-182430	19900709 <--
PRAI	JP 1990-182430		19900709		

OS MARPAT 117:263658
GI



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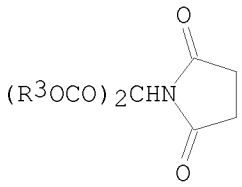


II

AB The Pt complexes [I; R = -CH(R1)CH(R2)-, -CH2C(R3)(R4)CH2-; R1-2 = H, C1-6 aliphatic hydrocarbon (total C of R1 + R2 ≤8); R1 and R2 may form (CH2)k; R3-4 = H, C1-6 aliphatic hydrocarbon, H(CH2)lO(CH2)m-, R3 and R4 may form (CH2)n; k = 4, 5; l = 0-3; m = 2, 3; n = 3-5] are claimed. Malonic acid derivs. (II; R5 = H, lower alkyl, benzyl, alkali metal, alkaline earth metal) are claimed. The antitumor agents contain I. The complexes show effective antitumor action on mice leukemia with low toxicity.

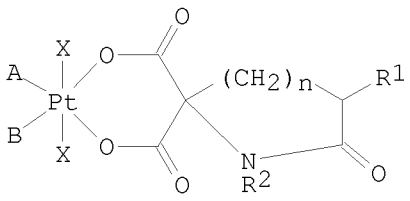
L7 ANSWER 40 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1992:482321 CAPLUS
DN 117:82321
OREF 117:14139a,14142a
TI The crystal structure and absolute configuration of the antitumor platinum complex trans-(OH)Pt(OH)2(malonato)(1R,2R-cyclohexanediamine)
AU Goto, Masafumi; Hirose, Junzo; Noji, Masahide; Lee, Keun Im; Saito, Reiko; Kidani, Yoshinori
CS Fac. Pharm. Sci., Kumamoto Univ., Kumamoto, 862, Japan
SO Chemical & Pharmaceutical Bulletin (1992), 40(4), 1022-4
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
AB The absolute configuration of the anti-tumor complex trans-(OH)Pt(OH)2(malonato)(1R,2R-cyclohexanediamine) was determined by x-ray anomalous scattering techniques. The final unit cell was monoclinic, space group P21, with Z = 2 and Rw = 0.033. The platinum atom has roughly octahedral coordination. The cyclohexane ring has the expected chair configuration, with two amino groups in equatorial positions while the malonato ligand, in contrast, shows a boat conformation for the six-membered Pt O-C-C-C-O ring.

L7 ANSWER 41 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1992:419317 CAPLUS
DN 117:19317
OREF 117:3318h,3319a
TI Preparation of tetravalent platinum complexes as antitumor agents
IN Sugimura, Masao; Inomata, Takako; Kobayashi, Tomoo
PA Sankyo Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DT Patent
LA Japanese

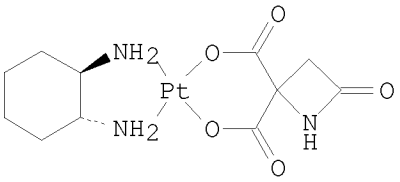
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 03279392	A	19911210	JP 1990-81514	19900329 <--
PRAI	JP 1990-81514		19900329		

OS MARPAT 117:19317
GI



I



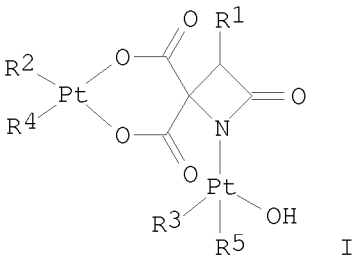
II

AB The title compds. [I; A, B = NH3, primary, secondary, on aromatic amine, AB = diamine; R1 = H, (substituted) alkyl, aryl, aralkyl, heterocyclyl, etc.; R2 = H, (substituted) alkyl, aryl, aralkyl; X = OH, Cl, n = 0-2], useful as antitumor agents (no data), are prepared cis-II (100 mg) was added to 30% H2O2 with stirring at room temperature to give 97 mg I (AB = trans-1,2-cyclohexanediamine, X = OH, R1 = R2 = H, n = 0).

L7 ANSWER 42 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1992:267958 CAPLUS
DN 116:267958
OREF 116:45202h,45203a
TI Binuclear platinum complex for antitumor agents
IN Sugimura, Masao; Ichihara, Yukiko; Kobayashi, Tomoo
PA Sankyo Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 03271297	A	19911203	JP 1990-72475	19900322 <--
PRAI	JP 1990-72475		19900322		

OS MARPAT 116:267958
GI



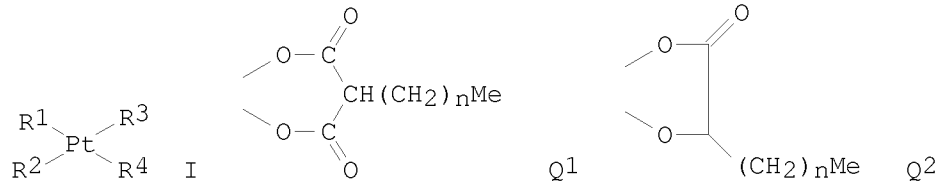
I

AB The complex consists of I [R1 = H, (substituted) lower alkyl, (substituted) aryl, (substituted) heterocyclic group, acylamino, alkoxycarbonyl, alkoxy, alkylthio, halo, aralkyl; R2-5 = NH3, primary alkylamine, secondary alkylamine, aromatic amine; R2 and R4 or R3 and R5 may form diamine]. The complex showed good antitumor effect on mouse leukemia.

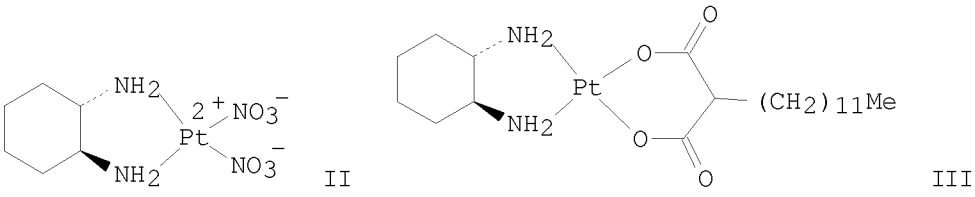
L7 ANSWER 43 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1992:247337 CAPLUS
DN 116:247337
OREF 116:41705a,41708a
TI Preparation of lipophile platinum complexes as anticancer agents
IN Konakawa, Osamu; Nomichi, Minoru; Ninomiya, Hiroshi; Iwata, Kenji; Yokumoto, Hisao
PA Nippon Kayaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 03200795	A	19910902	JP 1989-338280	19891228 <--
PRAI JP 1989-338280		19891228		

OS MARPAT 116:247337
GI



I Q1 Q2



II III

AB Pt complexes [I; R1, R2 = NH3, R1R2 = 1,2-diaminocyclohexane, 1-amino-1-(aminomethyl)cyclohexane; R3,R4 = Me(CH2)nCH(OH)CO2 (n = 7-20),

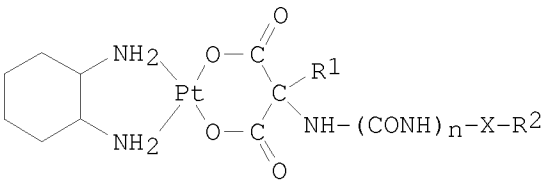
R3R4 = Q1, Q2] are prepared Thus, a solution of dodecylmalonic acid in NaOH was added to a solution of dinitrato complex II in H2O with stirring at 40-45° to give 58% III.1.5 H2O, which was formulated into a microfile suspension to show 88.72% inhibition of mouse leukemia cell L-1210 at 1.00 μg/mL.

L7 ANSWER 44 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1992:14712 CAPLUS
DN 116:14712
OREF 116:2495a,2498a
TI Synthesis of aminomalonic acid-1,2-diaminocyclohexane-platinum complex
AU Zhao, Yanwei; Meng, Zhaoli; Wang, Huicai
CS Dep. Pharm., Shandong Med. Univ., Jinan, 250012, Peop. Rep. China
SO Zhongguo Yiyao Gongye Zazhi (1991), 22(4), 151-2
CODEN: ZYGZEA; ISSN: 1001-8255
DT Journal
LA Chinese
AB PtLL'(I; L = 1,2-diaminocyclohexane, H2L' = 2-aminomalonic acid) was synthesized by the reaction of K2PtCl6 with NH2NH2.2HCl to form K2PtCl4 which was then reacted with 1,2-diaminocyclohexane at pH 8-9 to form PtLCl2. PtLCl2 was then reacted with Ag2SO4 to form PtL(SO4) which reacted with NH2CH(COOH)2 in the presence of Ba(OH)2 to form I. The yield was 85.1%, m.p. 250° (decompose). The IR spectra and elemental anal. confirmed the structure. (L')2- coordinates through 2 carboxylate O atoms.

L7 ANSWER 45 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1991:621937 CAPLUS
DN 115:221937
OREF 115:37601a,37604a
TI Antitumor agent containing platinum complex
IN Tsujihara, Kenji; Otsuki, Osamu; Nakatani, Tadashi; Arai, Yoshihisa
PA Tanabe Seiyaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 02067217	A	19900307	JP 1988-219266	19880901 <--
PRAI JP 1988-219266		19880901		

OS MARPAT 115:221937
GI



I

AB Am antitumor agent contains an effective component I (R1 = H, lower alkyl; R2 = H, (un)substituted lower alkyl, alkenyl, alkynyl, alkoxy, alkanoyl, amino, N or O heterocyclic ring; Z = lower alkylene; X = carbonyl, sulfonyl; n = 1, 2).

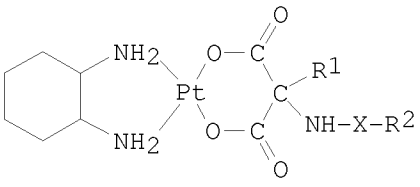
L7 ANSWER 46 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1991:549823 CAPLUS

DN 115:149823
OREF 115:25419a,25422a
TI Murine antitumor activity of new water soluble platinum(II) complexes with reduced toxicity
AU Talebian, A. H.; Bensely, D.; Schein, P. S.; Green, D.
CS Dep. Chem., Georgetown Univ., Washington, DC, 20057, USA
SO Anti-Cancer Drug Design (1990), 5(4), 371-8
CODEN: ACDDEA; ISSN: 0266-9536
DT Journal
LA English
AB A series of new water soluble sugar and non-sugar containing platinum(II) complexes was synthesized and evaluated for effects of the sugar moiety on water solubility, antitumor activity, and acute leukopenia. When tested in vivo against the murine P388 and L1210 leukemias at LD10/maximally EDs, the compound cis-[(gluconylamino)malonato-O,O'](1R,2R-cyclohexanediamine-N,N')platinum(II), R,R-G-AMP, produced comparable or superior antitumor activity to cisplatin, carboplatin, and tetraplatin. Efficacy was also demonstrated for the L1210/DDP (cisplatin-resistant) leukemia. Further, R,R-G-AMP is non-nephrotoxic and produces less leukopenia than cisplatin, carboplatin, and tetraplatin.

L7 ANSWER 47 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1991:525666 CAPLUS
DN 115:125666
OREF 115:21311a,21314a
TI Antitumor agent containing platinum complex
IN Tsujihara, Kenji; Otsuki, Osamu; Nakatani, Tadashi; Arai, Yoshihisa
PA Tanabe Seiyaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 02056421	A	19900226	JP 1988-206589	19880819 <--
PRAI JP 1988-206589		19880819		

OS MARPAT 115:125666
GI



I

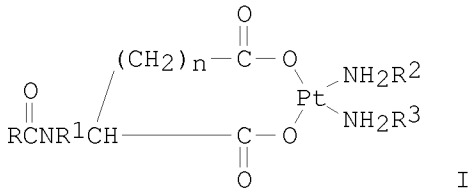
AB An antitumor agent contains on effective component I (R1 = H, lower alkyl; R2 = (un)substituted lower alkyl, alkenyl, alkanoyl, amino, N or O heterocyclic ring, CH2O(CH2CH2O)mCH3; X = carbonyl, sulfonyl; m = 1, 2). Specifically, the component comprises [2-(acetylamino)malonato](trans-l-1,2-diaminocyclohexane)platinum, [2-{(methoxyethoxy)acetylamino}malonato](trans-l-1,2-diaminocyclohexane)platinum, or [2-(acetylamino)-2-methylmalonato](trans-l-1,2-diaminocyclohexane)platinum.

L7 ANSWER 48 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN

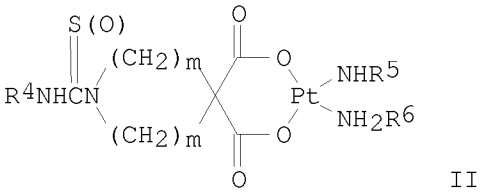
AN 1991:464745 CAPLUS
DN 115:64745
OREF 115:10983a,10986a
TI Preparation of organoplatinum antileukemia drugs
IN Talebian, Abdolhossen; Green, Dianna C.; Schein, Philip S.
PA Georgetown University, USA
SO PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9008157	A1	19900726	WO 1990-US171	19900117 <--
	W: AU, CA, HU, JP, NO, SU				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
	US 4946954	A	19900807	US 1989-301773	19890126 <--
	AU 9050394	A	19900813	AU 1990-50394	19900117 <--
	ZA 9000336	A	19901031	ZA 1990-336	19900117 <--
	EP 462980	A1	19920102	EP 1990-902930	19900117 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
	JP 04502767	T	19920521	JP 1990-503681	19900117 <--
	JP 2771326	B2	19980702		
	RU 2074861	C1	19970310	RU 1990-5001256	19900117 <--
	NO 9102732	A	19910711	NO 1991-2732	19910711 <--
	NO 180588	B	19970203		
	NO 180588	C	19970514		
PRAI	US 1989-297368	A	19890117		
	US 1989-301773	A	19890126		
	US 1987-74825	B2	19870717		
	US 1988-143761	A2	19880114		
	WO 1990-US171	A	19900117		

OS MARPAT 115:64745
GI



I



II

AB Due to the dicarboxylate-imparted mol. structure the chelated platinum (II) complex amine salts I and II are more water-soluble, and less damaging to kidney and bone marrow. I and II (n = 0 or 1; when n = 1, R1 = H or C1-4 alkyl, R = alkyl, mono- or disaccharide; when n = 0, R1 = H, C1-4 alkyl, R = H, halo, alkyl, etc.; R2, R3 = H, C1-4 alkyl; R2R3 = fused or bicycle, or alkylene in 4-8 member ring when R ≠ R1 = H and n = 0; m

= 1, 2; R4 = mono- or disaccharide; R5, R6 = H, C1-4 alkyl; CR5R6 = 5- or 6-member ring) are prepared as antileukemia drugs. Pentaacetylgluconyl chloride was reacted with iminomalonic acid in N,N-diisopropylethylamine/CH3CN to give the iminomalonic acid intermediate, which was treated with Ba(OH)2.8H2O and then added to cis-(R,R)-sulfato(cyclohexane-1,2-diamine-N,N')platinum(II) in an aqueous solution to give the iminomalonic acid-chelated Pt-complex cyclohexanediamine salt. A dosage form suitable for i.v. administration was 130 mg active ingredient/m2 body surface of patient in an isotonic solution and in vivo tests on mice-carried P388 leukemia cells were conducted.

L7 ANSWER 49 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1991:464146 CAPLUS
DN 115:64146
OREF 115:10851a,10854a
TI Chemical and biological characterization of a series of water soluble 1,2-diaminocyclohexane platinum(II) complexes
AU Khokhar, Abdul R.; Hacker, Miles P.
CS Dep. Chemother. Res., M. D. Anderson Hosp., Houston, TX, 77030, USA
SO Inorganica Chimica Acta (1991), 179(2), 289-92
CODEN: ICHAA3; ISSN: 0020-1693
DT Journal
LA English
AB A series of water-soluble 1,2-diaminocyclohexane platinum(II) complexes were prepared and analyzed for their mode of ligand coordination and biol. activity. Preliminary in vitro and in vivo screening tests indicate that these complexes have excellent antitumor activity and are not crossresistant with DDP. This series of platinum complexes warrant further study for eventual introduction into clin. studies.

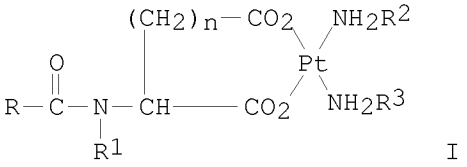
L7 ANSWER 50 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1991:440792 CAPLUS
DN 115:40792
OREF 115:6889a,6892a
TI Platinum pharmaceutical agents
IN Talebian, Abdolhossen; Green, Dianna C.; Schein, Philip S.
PA Georgetown University, USA
SO U.S., 16 pp. Cont.-in-part of U.S. Ser. No. 297,368.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4946954	A	19900807	US 1989-301773	19890126 <--
	US 4895936	A	19900123	US 1988-143761	19880114 <--
	CA 2045120	A1	19900718	CA 1990-2045120	19900117 <--
	WO 9008157	A1	19900726	WO 1990-US171	19900117 <--
	W: AU, CA, HU, JP, NO, SU				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
	AU 9050394	A	19900813	AU 1990-50394	19900117 <--
	ZA 9000336	A	19901031	ZA 1990-336	19900117 <--
	EP 462980	A1	19920102	EP 1990-902930	19900117 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
	JP 04502767	T	19920521	JP 1990-503681	19900117 <--
	JP 2771326	B2	19980702		
	HU 59690	A2	19920629	HU 1990-1456	19900117 <--
	IL 93090	A	19951031	IL 1990-93090	19900117 <--
	NO 9102732	A	19910711	NO 1991-2732	19910711 <--
	NO 180588	B	19970203		
	NO 180588	C	19970514		
	AU 9454792	A	19940331	AU 1994-54792	19940131 <--

	AU 674185	B2	19961212
PRAI	US 1987-74825	B2	19870717
	US 1988-143761	A2	19880114
	US 1989-297368	A2	19890117
	US 1989-301773	A	19890126
	WO 1990-US171	A	19900117

OS MARPAT 115:40792

GI



I

AB Pt compds. useful in the treatment of cancer are disclosed. Compns. containing these compds. and methods of using the same are also discussed, with antitumor testing data. Compds. having the formula I, where n is 0 or 1 and when n is 1, R1 is H or C1-4 alkyl, R is nonsubstituted higher alkyl or mono or disaccharide or a derivative of a mono or disaccharide, when n is 0, R1 is H or C1-alkyl, R is H, halogen, nonsubstituted C1-20 alkyl, aryl, arlalkyloxy, mono or disaccharide, or a derivative of a mono or disaccharide, and R2 and R3 are selected from H, C1-4 alkyl or R2 and R3 or R2 and R3 together are linked to adjacent C atoms on a 4-, 5-, or 6-membered ring structure, or R2 and R3 together form a fused or bicyclic ring with adjacent C atoms, or R2 and R3 together are a substituted or unsubstituted C1-5 alkylene group; with the proviso that R and R1 cannot both be H when n = 0, or a pharmaceutically acceptable salt thereof, are particularly useful.

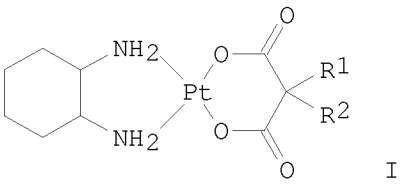
L7 ANSWER 51 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1991:198518 CAPLUS
DN 114:198518
OREF 114:33251a,33254a
TI Synthesis and characterization of a series of water soluble amidomalonato(1R,2R-cyclohexanediamine)platinum(II) complexes
AU Talebian, Abdolhossen; Bensely, Dennis; Green, Dianna; Schein, Philip S.
CS Dep. Chem., Georgetown Univ., Washington, DC, 20057, USA
SO Journal of Coordination Chemistry (1990), 22(3), 165-73
CODEN: JCCMBQ; ISSN: 0095-8972
DT Journal
LA English
AB H2O-soluble [Pt(DACH)[RCH(COO)2]] (DACH 1R,2R-cyclohexanediamine; RH = formamide, acetamide, (penta-O-acetylgluconyl)amine, gluconylamine) were synthesized. The modes of binding of amidodicarboxylic acid derivs. in these complexes were determined by 1H, 13C, and 195Pt NMR; 2-dimensional correlation spectroscopy (2D-COSY){1H-1H} AND 2D-heteronuclear COSY{1H-13C} NMR, mass spectrometry (fast atom bombardment), IR, and conductivity

L7 ANSWER 52 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1991:75199 CAPLUS
DN 114:75199
OREF 114:12647a,12650a
TI Preparation of platinum complexes, and their use as antitumor agents
IN Yokoi, Koichi; Irinoda, Kazuhiko; Kohya, Hidehiko; Sato, Susumu; Katori,

Tatsuhiko
PA S. S. Pharmaceutical Co., Ltd., Japan
SO Eur. Pat. Appl., 12 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 376076	A1	19900704	EP 1989-123140	19891214 <--
R: BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
JP 02256690	A	19901017	JP 1989-307218	19891127 <--
CA 2005851	A1	19900627	CA 1989-2005851	19891218 <--
US 5008419	A	19910416	US 1989-451637	19891218 <--
PRAI JP 1988-330251	A	19881227		

OS MARPAT 114:75199
GI



I

AB The title complexes I (R1, R2 = Me, Et) are provided; the configuration of the 1,2-diaminocyclohexane is cis-, trans-l-, trans-d-, or trans-dl-. I possess excellent antitumor activity with a high therapeutic index and abundant water-solubility, and are therefore effective as antitumor agents. Thus, (trans-dl-1,2-diaminocyclohexane)dimethylmalonatoplatinum(II) (II) was prepared in 2 steps from K tetrachloroplatinate. The LD50, ILS50 (dose for 50% increase in life span), and therapeutic index (LD50/ILS50) for II were 140 mg/kg, 3.4 mg/kg, and 41.2, resp.; the corresponding values for cisplatin were 18.0 mg/kg, 1.3 mg/kg, and 13.8, resp. The solubility of II and cisplatin in water was 8 and 1 mg/mL, resp. An injection formulation contained 20 mg II and water to 20 mL.

L7 ANSWER 53 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1991:16606 CAPLUS
DN 114:16606
OREF 114:2815a,2818a
TI Platinum(II) complexes, their preparation, and use as antitumor agents
IN Spinelli, Silvano; Pasini, Alessandro; Menta, Ernesto; Zunino, Franco; Tognella, Sergio
PA Boehringer Biochemia Robin S.p.A., Italy
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 8909218	A1	19891005	WO 1989-EP330	19890325 <--
W: AU, BB, BG, BR, DK, FI, HU, JP, KP, KR, LK, MC, MG, MW, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 8932927	A	19891016	AU 1989-32927	19890325 <--
AU 633817	B2	19930211		

	EP 341409	A1	19891115	EP 1989-105369	19890325 <--
	EP 341409	B1	19931229		
	R: ES, GR				
	EP 415939	A1	19910313	EP 1989-903737	19890325 <--
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	HU 55401	A2	19910528	HU 1989-2555	19890325 <--
	HU 206220	B	19920928		
	JP 03503529	T	19910808	JP 1989-503437	19890325 <--
	AT 99315	T	19940115	AT 1989-105369	19890325 <--
	ES 2061756	T3	19941216	ES 1989-105369	19890325 <--
	ZA 8902398	A	19891129	ZA 1989-2398	19890331 <--
	DK 9002356	A	19900928	DK 1990-2356	19900928 <--
	US 5104895	A	19920414	US 1990-585118	19901105 <--
PRAI	IT 1988-20074	A	19880401		
	EP 1989-105369	A	19890325		
	WO 1989-EP330	A	19890325		

OS MARPAT 114:16606

GI For diagram(s), see printed CA Issue.

AB Compds. of formula I, (where R1 and R2, that can be the same or different, are H, alkyl, aryl, aralkyl groups or, if taken together, cycloalkyl groups; A is a C atom, a residue of 2,3-dioxybutandioic-2,4-dioxyphthalic acid or disubstituted malonic acid derivs.; n1 and n2 are selected in such a manner that the result of their addition is from 2-40; T1 and T2 that can be the same or different, are H, alkyl, benzyl, Ph, acyl, or cycloalkyl, or a residue of II-IV and V-VI) are useful as antitumor agents in human therapy.

L7 ANSWER 54 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1990:110737 CAPLUS

DN 112:110737

OREF 112:18565a,18568a

TI (Malonato)bis[sulfinylbis[methane]-S]platinum(II) compounds: versatile synthons for a new general synthesis of antitumor symmetrical and dissymmetrical (malonato)platinum(II) complexes

AU Bitha, Panayota; Morton, George O.; Dunne, Theresa S.; Delos Santos, Eugenia F.; Lin, Yang I.; Boone, Steven R.; Haltiwanger, R. Curtis; Pierpont, Cortlandt G.

CS Med. Res. Div., Am. Cyanamid Co., Pearl River, NY, 10965, USA

SO Inorganic Chemistry (1990), 29(4), 645-52
CODEN: INOCAJ; ISSN: 0020-1669

DT Journal

LA English

AB cis-[Pt(OOCACOO)(Me2SO)2] (A = CH2, cycloalkyl) were prepared, and their reactions with various amines have led to a new general synthesis of antitumor sym. and dissym. (malonato)platinum(II) complexes. Reaction of trans-(-)-1,2-cyclohexanediamine (CHDA) with cis-[Pt(CBDC)(Me2SO)2] (H2CBDC = cyclobutanedicarboxylic acid) was studied in detail, and crystallog. mol. structure detns. were carried out on the Pt(CHDA)(Me2SO)(CBDC) (I) intermediate and the Pt(CHDA)(CBDC) (II). Crystals of I.13H2O grown from aqueous solution form as unstable hydrates, which rapidly lose water mols. of crystallization upon removal from the crystallization solution at room temperature I.13H2O crystallizes in the noncentrosym. triclinic unit cell P1 with Z = 4, a = 10.998(3), b = 13.946(5), c = 15.163(5) Å, α = 65.39(2), β = 88.21(2), γ = 79.64(2)°. Complex mols. form as 2 independent H-bonded dimers, [Pt(CHDA)(Me2SO)(CBDC)]2, with H-bonded water mols. linking the 2 complex units. Pt atoms are 4-coordinate, bonded to the 2 nitrogens of CHDA, the S atom of the DMSO ligand, and one of the carboxylate O atoms of the monodentate CBDC ligand. Crystals of II.H2O obtained from aqueous solution form as hydrates in the noncentrosym. centered monoclinic unit cell C2, a = 24.889(16), b =

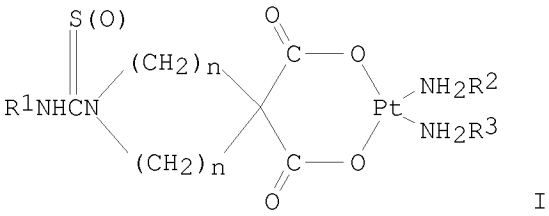
5.382(2), c = 11.426(4) Å, β = 106.97(2)°, Z = 1. Displacement of the DMSO ligand of I results in chelation of the CBDC ligand in II. H2O in II.H2O is H bonded to O atoms of adjacent complex mols.

L7 ANSWER 55 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1990:110668 CAPLUS
DN 112:110668
OREF 112:18553a,18556a
TI Syntheses of cis-dichlorodiammineplatinum analogs having steroidal hormones bound to the metal atom via malonato bridges
AU Gandolfi, Ottavio; Apfelbaum, Haim C.; Migron, Yoelit; Blum, Jochanan
CS Dep. Org. Chem., Hebrew Univ., Jerusalem, 91904, Israel
SO Inorganica Chimica Acta (1989), 161(1), 113-123
CODEN: ICHAA3; ISSN: 0020-1693
DT Journal
LA English
AB In search for neutral, chemical stable, antitumor agents with target specificity, 27 steroidal Pt(II) malonate conjugates were prepared Estrone, 17β-estradiol, testosterone, epitestosterone, pregnenolone, progesterone, 11α-hydroxyprogesterone, 21-desoxycortisone, prednisolone, litocholic, desoxycholic and etienic acid residues were attached either directly or through stable bridges to malonic esters. Hydrolysis of 14 of the modified diesters with Ba(OH)2 followed by treatment of the 14 barium salts, so formed, with cis-PtL2I2 (L = NH3, cyclobutylamine, 0.5 en, 0.5 1,2-cyclohexanediamine) in the presence of aqueous Ag salts, afforded the desired steroidal, cis-Pt complexes.

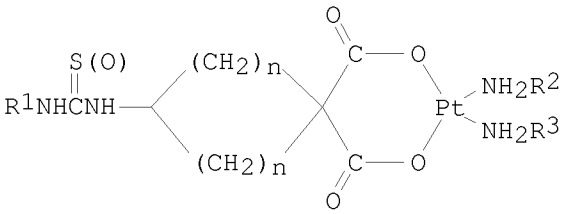
L7 ANSWER 56 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1990:90426 CAPLUS
DN 112:90426
OREF 112:15171a,15174a
TI Preparation of platinum compounds for the treatment of cancer
IN Talebian, Abdolhossen; Green, Diana C.; Hammer, Charles F.; Schein, Philip S.
PA Georgetown University, USA
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8900574	A1	19890126	WO 1988-US2353	19880718 <--
	W: AU, JP				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	US 4895936	A	19900123	US 1988-143761	19880114 <--
	US 4895935	A	19900123	US 1988-143762	19880114 <--
	AU 8821230	A	19890213	AU 1988-21230	19880718 <--
	AU 615937	B2	19911017		
	EP 376959	A1	19900711	EP 1988-906550	19880718 <--
	EP 376959	B1	19930324		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 03500532	T	19910207	JP 1988-506291	19880718 <--
	JP 2749092	B2	19980513		
	AT 87314	T	19930415	AT 1988-906550	19880718 <--
	CA 1330793	C	19940719	CA 1988-572280	19880718 <--
PRAI	US 1987-74825	A	19870717		
	US 1988-143761	A	19880114		
	US 1988-143762	A	19880114		
	EP 1988-906550	A	19880718		
	WO 1988-US2353	A	19880718		

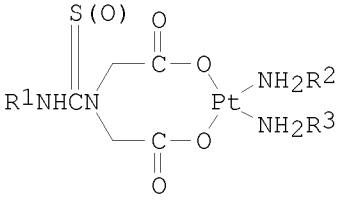
OS MARPAT 112:90426
GI



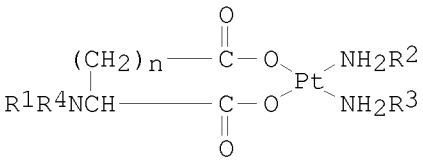
I



II



III



IV

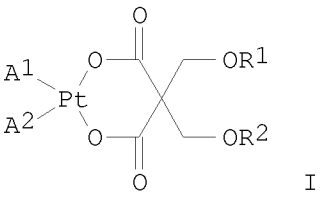
AB Pt compds. (I-III; n = 1, 2; R1 = mono- or disaccharide or derivative thereof; R2, R3 = C1-4 alkyl or R2 and R3 together being linked to adjacent C's on a 5- or 6-membered ring) and (IV; n = 0, 1; R1 = H, mono- or disaccharide or derivative thereof linked to the N by NHCO, NHCS, CO; R2, R3 = H, C1-4 alkyl; or R2 and R3 together being linked to adjacent C's on a 4-, 5- or 6-membered ring or R2R3 forming a fused or bicyclic ring with adjacent C's; R4 = H, C1-4 alkyl; provided that R1 and R4 cannot both be H when n = 0) useful as anticancer agents, are prepared Reaction of 3,4,6-tri-O-acetyl-2-acetamido-2-deoxyglucopyranosyl isothiocyanate with aspartic acid in aqueous MeCN containing (iso-Pr)2NEt gave 2-[[(3,4,6-tri-O-acetyl)-2-acetamido-2-deoxy-α-D-glucopyranosyl)amino]thiocarbonyl]amino]butanedioic acid. An aqueous solution of Ba salt of the latter and cis-sulfato-1,2-cyclohexanediamine-Pt(II) (preparation given) was agitated 2 h in N in the dark to give (S)-IV [R1 = [(3,4,6-tri-O-acetyl-2-acetamido-2-deoxy-α-D-glucopyranosyl)amino]thiocarbonyl, R2R3 = 1,2-cyclohexylidene, R4 = H] (V). V at 400 mg/kg showed 76% increased life span (ILS) of mice implanted i.p. with 1 + 106 P388 leukemia cells vs. 96% ILS for cisplatin at 10 mg/kg.

L7 ANSWER 57 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1990:660 CAPLUS
DN 112:660
OREF 112:123a,126a
TI Antitumor platinum(II) complexes
IN Nagai, Takashi; Miyokan, Isao; Kitayama, Isao; Funaki, Takashi; Tabiie, Nobuhisa; Miyahara, Maki; Hori, Takako
PA Toyama Chemical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 63101391	A	19880506	JP 1986-246292	19861016 <--
JP 07053746	B	19950607		
PRAI JP 1986-246292		19861016		

OS MARPAT 112:660
GI



I

AB cis-Pt (II) complexes (I; R1,R2 = phosphorylcholine, (un)substituted sulfamoyl or carbamoyl; A1,A2 = amine, cycloalkylamine, (un)substituted diamines, diamino compds., etc.) are antitumor agents. cis-(1,3-Disulfomoyltrimethylene glycol 2,2-dicarboxylate) (trans-dl-1,2-diaminocyclohexane) Pt (II) was prepared by reacting cis-dichloro(trans-dl-1,2-diaminocyclohexane) Pt (II) with AgNO3 and then with 2,2-dicarboxy-1,3-disulfomoyltrimethylene glycol. The complex administered i.p. to L-1210 ascitic tumor cell-bearing mice prolonged the life span.

L7 ANSWER 58 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1989:566201 CAPLUS
DN 111:166201
OREF 111:27501a,27504a
TI Preparation of tetravalent platinum coordination compounds as antitumor agents
IN Kiss, Frantisek; Novotny, Jiri; Zavodna, Ivanka; Ruzicka, Dag
PA Czech.
SO Czech., 6 pp.
CODEN: CZXXA9
DT Patent
LA Czech
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI CS 255958	B1	19880415	CS 1985-5536	19850729 <--
PRAI CS 1985-5536		19850729		

OS MARPAT 111:166201
AB Title compds. A1A2Pt(OH)2X1X2 (I; A1,A2 = H3N, aliphatic or alicyclic amine, or diamine; X1,X2 = halo, mono-, bi-, or tridentate organic acid ligand or hydroxy acid) are prepared by oxidation of A1A2PtX1X2 (II). cis-(Me2CHNH2)2Pt(OH)Cl2 was oxidized with 25% H2O2 by ultrasound at 25 kHz to give cis-I (A1,A2 = Me2CHNH2; X1,X2 = Cl) (III). Mice implanted with leukemia P388 and treated with III at 20-40 mg/kg showed 150-200% survival time, vs. controls.

L7 ANSWER 59 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1989:489370 CAPLUS

DN 111:89370
OREF 111:14842h,14843a
TI Antitumor steroid-platinum complexes and method for the preparation thereof
IN Gandolfi, Ottavio; Blum, Jochanan
PA Yissum Research Development Co., Israel
SO Israeli, 48 pp.
CODEN: ISXXAQ
DT Patent
LA English
FAN.CNT 1

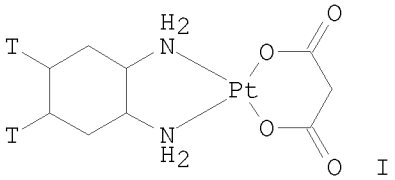
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI IL 73337	A	19880930	IL 1984-73337	19841028 <--
PRAI IL 1984-73337		19841028		

OS MARPAT 111:89370
AB Antitumor-active steroid-substituted-malonatoplatinum complexes are prepared, which have the general formula G[(Z)nCONH]mCH(COO)2PtIIL2 (I), wherein L is a monodentate aliphatic amine ligand of the type H2NR, where R is selected from H, OH, lower alkyl, cycloalkyl, hydroxy lower alkyl, lower alkoxy, and alkoxylamines; L2 is a bidentate aliphatic amine ligand of the type H2NCHR1(CR2R3)pCHR4NH2, where p = 0 or 1, and R1, R2, R3, R4 are the same or different substituents and are selected from H, OH, lower alkyl, lower alkoxy, cycloalkyl; when p = 0, R1 and R4 can be combined through methylene or substituted methylene groups to form a cycloalkyl group; when p = 1, R1 can be combined with R2 or R2 and R3 can be combined with the C, to form, in each case, a cycloalkyl group; G is a steroid mol., either natural or synthetic, and is selected from cholesterol derivs., estrogens, progestagens, androgens, glucocorticoids and mineralocorticoids; m = 0 or 1; when m = 0; G is directly combined to the malonato ligand; when m = 1; [(Z)nCONH] is an organic bridging group, or organic spacer, which is combined on 1 end to G and, through the N, to the malonato ligand; n is 0 or 1; when n = 0, G is directly combined to the C atom of the CONH fragment of the organic bridging group; when n = 1, (Z) can be selected from alkyls, alkenyls, alkynyls or aliphatic groups bound to an aromatic moiety. [3α-01-5β-Cholan-24-[N-(aminomalonic)carboxamidato(2-)]](diamine)platinum(II) was prepared, via a steroid-malonato derivative and the steroid-Ba salt, in 58% yield.

L7 ANSWER 60 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1989:489322 CAPLUS
DN 111:89322
OREF 111:14831a,14834a
TI Water-soluble third generation antitumor platinum complexes, [2,2-bis(aminomethyl)-1,3-propanediol-N,N']-[1,1-cyclobutanedicarboxylato(2-)-O,O']platinum(II) and [1,1-cyclobutanedicarboxylato(2-)-O,O'][tetrahydro-4H-pyran-4,4-dimethanamine-N,N']platinum(II)
AU Bitha, Panayota; Carvajal, Suzanne G.; Citarella, Ronald V.; Child, Ralph G.; Delos Santos, Eugenia F.; Dunne, Theresa S.; Durr, Fredrick E.; Hlavka, Joseph J.; Lang, S. A., Jr.; et al.
CS Lederle Lab., Am. Cyan. Co., Pearl River, NY, 10965, USA
SO Journal of Medicinal Chemistry (1989), 32(8), 2015-20
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 111:89322
AB cis-PtLCl2 (L = 3,3-oxetanedimethanamine (OXTDMA), tetrahydro-4H-pyran-4,4-dimethanamine (THPDMA), trans-(+)-tetrahydro-3,4-furandiamine (THFDA), 2,2-bis(aminomethyl)-1,3-propanediol (BAMPDO), 2,3-diamino-1,4-butanediol

(DABDO)], cis-[PtL1(CBCD)] [H2CBCD = 1,1-cyclobutanedicarboxylic acid; L1 = L, 1,1-cyclobutanedimethanamine, 1,1-cyclohexanedimethanamine, trans-(+)-1,2-cyclohexanediamine, 2,2-dimethyl-1,3-propanediamine], cis-[PtL(O2CCH2CO2)] (L = OXTDMA, THPDMA, THFDA, DABDO), and cis[[PtLQ] (L = THPDMA, DAMPDO; H2Q = tetrahydro-4H-pyran-4,4-dicarboxylic acid) were prepared and their stability and antitumor activity determined cis-Pt(BAMPDO)(CBDB)] and cis-Pt(THPDMA)(CBDB)] show the greatest antitumor activity. cis-[Pt(OXTDMA)(O2CCH2CO2)] is monoclinic, space group Pm, with Z = 2 whereas cis-[Pt(DABDO)(O2CCH2CO2)].H2O is orthorhombic, space group Pn21a, Z = 4.

L7 ANSWER 61 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1989:417083 CAPLUS
DN 111:17083
OREF 111:2875a,2878a
TI Disposition of cisplatin derivatives 3H-cis-1,2-diaminocyclohexanedichloroplatinum(II) and 3H-cis-1,2-diaminocyclohexanemalonatoplatinum(II) in BDF1 mice
AU Oswald, C. Brent; Wyrick, Steven D.; Chaney, Stephen G.; Shrewsbury, Robert O.; Hall, Iris H.
CS Sch. Pharm., Univ. North Carolina, Chapel Hill, NC, 27599, USA
SO Research Communications in Chemical Pathology and Pharmacology (1989), 64(1), 41-58
CODEN: RCOCB8; ISSN: 0034-5164
DT Journal
LA English
GI



I

AB The disposition of [3H]-cis-1,2-diaminocyclohexanedichloroplatinum(II) and [3H]-cis-1,2-diaminocyclohexanemalonatoplatinum(II) (I) was investigated in P388 tumor-bearing BDF1 mice. At 15 min after i.p. administration of the drugs, the serum contained 12% of the chloride derivative and 20% of the malonate derivative Both drugs were distributed to all organs of the body but were not sequestered in any major internal organ. Substantial amts. of the drugs were found in the carcass and skin. After 24 h, .apprx.43% of the radioactivity was excreted in the urine. Only 5-8% of the radioactivity was eliminated in the feces. The radioactivity half-lives (t1/2β) for the chloride and malonate derivs. were estimated from urinary excretion data to be 22.7 and 30.0 h, resp.

L7 ANSWER 62 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1989:416731 CAPLUS
DN 111:16731
OREF 111:2825a,2828a
TI Water-soluble platinum complexes of novel malonate derivatives for antitumor agents
IN Bitha, Panayota; Hlavka, Joseph John; Lin, Yang I.
PA American Cyanamid Co., USA
SO Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 304566	A2	19890301	EP 1988-109236	19880610 <--
	EP 304566	A3	19900912		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
	US 4870070	A	19890926	US 1987-83325	19870810 <--
	JP 02048590	A	19900219	JP 1988-194705	19880805 <--
	CA 1276159	C	19901113	CA 1988-574072	19880808 <--
PRAI	US 1987-83325	A	19870810		

OS MARPAT 111:16731
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. are prepared for use as antitumor agents. The compds. have the formula PtA1A2L1L2, where A1,A2 = NH3 or together are I and II; R1,R2 = H, HO(CH2)m (n = 1-3), or C1-3 alkyl, and R1 and R2 together are (CH2)aB(CH2)b (B = O, SO2, CH2, or NR3; R3 = C1-3 alkyl; a,b = 0-4), O(CH2)2OCH2, or III (R6,R7 = H or C1-3 alkyl; in II, n,p = 0 or 1; R4,R5 = HO(CH2)m (m = 1-3) or R4 and R5 together may be (CH2)rD(CH2)s (D = O, CH2, or CH(OH)CH(OH); r,s = 0-4) or OCR8R9O (R8,R9 = H or C1-3 alkyl); and L1 and L2 together are IV (E = O, SO2, or NR10; R10 = C1-3 alkyl; and t,u = 0-4), V, or VI. (1,3-Dioxane-4,4-dimethanamin-N,N')[tetrahydro-4H-pyran-4,4-dicarboxylato(2-)-O,O']platinum was prepared (method given) and in a lymphocytic leukemia P388 test on BOF/1 mice, the test group had median survival 29l5 days at dose 100 mg/kg and T/C 2.65, vs. median survival 10 days for a control group and vs. cisplatin 30 days at 4 mg/kg and T/C 3.00.

L7 ANSWER 63 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1989:241627 CAPLUS
DN 110:241627
OREF 110:39899a,39902a
TI Preparation and testing of platinum lactamcarboxylate diamine complexes as neoplasm inhibitors
IN Sugimura, Yokio; Kameyama, Yukiko; Hashimoto, Toshihiko; Iino, Kimio; Shibata, Tomoyuki; Muramatsu, Shigeki; Kobayashi, Tomowo
PA Sankyo Co., Ltd., Japan
SO Eur. Pat. Appl., 69 pp.
CODEN: EPXXDW
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 290280	A2	19881109	EP 1988-304140	19880506 <--
	EP 290280	A3	19900725		
	EP 290280	B1	19940119		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 01052789	A	19890228	JP 1988-109582	19880502 <--
	JP 2565541	B2	19961218		
	DK 8802526	A	19881109	DK 1988-2526	19880506 <--
	FI 8802130	A	19881109	FI 1988-2130	19880506 <--
	FI 87572	B	19921015		
	FI 87572	C	19930125		
	HU 47301	A2	19890228	HU 1988-2309	19880506 <--
	HU 199492	B	19900228		
	AT 100456	T	19940215	AT 1988-304140	19880506 <--

	ES 2061646	T3	19941216	ES 1988-304140	19880506 <--
	CN 1034544	A	19890809	CN 1988-103597	19880507 <--
	CN 1017803	B	19920812		
	AU 8815813	A	19881110	AU 1988-15813	19880509 <--
	AU 617314	B2	19911128		
	NO 8802012	A	19890227	NO 1988-2012	19880509 <--
	NO 178069	B	19951009		
	NO 178069	C	19960117		
	CA 1308723	C	19921013	CA 1988-566294	19880509 <--
	JP 01052790	A	19890228	JP 1988-115541	19880512 <--
	JP 2543949	B2	19961016		
	RU 2039064	C1	19950709	RU 1992-5011706	19920519 <--
	US 5527905	A	19960618	US 1994-341702	19941118 <--
	US 5633243	A	19970527	US 1995-472128	19950607 <--
PRAI	JP 1987-112181	A	19870508		
	JP 1987-114500	A	19870513		
	US 1988-189524	B1	19880503		
	EP 1988-304140	A	19880506		
	US 1990-485864	B1	19900223		
	US 1990-597117	B1	19901012		
	US 1991-782895	B1	19911023		
	US 1992-908827	B1	19920702		
	US 1993-148174	B1	19931104		
	US 1994-341702	A3	19941118		

OS MARPAT 110:241627

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; A, B = C1-4 alkylamino, (substituted) arylamino; AB = H2NYNH2; Y = C2-7 alkylene, (substituted) arylene, heterocyclylene; Z = Q1, Q2; R1 = H, (substituted) C1-4 alkyl; C6-10 aryl, C5-10 heterocyclyl, C2-4 acylamino, C2-6 alkoxycarbonyl, C1-4 alkoxy, alkylthio, halo, CN, phthalimido; R2 = H, (substituted) C1-4 alkyl, C6-10 aryl; R3 = H, (substituted) C1-4 alkyl, C2-6 alkoxycarbonyl, CN; X = bond, C1-3 alkylene; n = 0-2], useful as neoplasm inhibitors, were prepared cis-(L-trans-1,2-Diaminocyclohexane)platinum (II) dinitrate was stirred in H2O at 28° overnight. 3S, 4R-3-[(R)-1-tert-Butyldimethylsilyloxyethyl]-2-oxoazetidin-4-ylacetic acid in aqueous NaOH was added to give cis-(trans-L-1,2-diaminocyclohexane)platinum (II) [[(3S, 4R)-3-[(R)-1-tert-butyldimethylsilyloxyethyl]-2-oxoazotidin-4-yl]acetate (II). II at 2.5 mg/kg i.p. in mice infected with L1210 leukemia cells gave an ILS (increase in life span) of >230%.

L7 ANSWER 64 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1989:146668 CAPLUS

DN 110:146668

OREF 110:24035a,24038a

TI Preparation of antitumor diaminodicarboxylatoplatinum compounds and their intermediates

IN Bitha, Panayota; Hlavka, Joseph John; Lin, Yang I.

PA American Cyanamid Co., USA

SO Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW

DT Patent

LA English

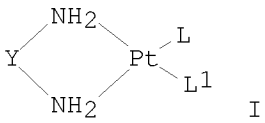
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 296321	A1	19881228	EP 1988-105673	19880409 <--
	EP 296321	B1	19920923		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
	US 4808730	A	19890228	US 1987-65441	19870623 <--
	AT 80889	T	19921015	AT 1988-105673	19880409 <--
	ES 2043708	T3	19940101	ES 1988-105673	19880409 <--

	IL 86209	A	19930513	IL 1988-86209	19880428 <--
	IL 100582	A	19951208	IL 1988-100582	19880428 <--
	CA 1308724	C	19921013	CA 1988-569940	19880621 <--
	AU 8818251	A	19890105	AU 1988-18251	19880622 <--
	AU 602589	B2	19901018		
	JP 01026587	A	19890127	JP 1988-152455	19880622 <--
	US 4937358	A	19900626	US 1988-281376	19881208 <--
	US 4996337	A	19910226	US 1990-493043	19900313 <--
PRAI	US 1987-65441	A	19870623		
	EP 1988-105673	A	19880409		
	IL 1988-86209	A3	19880428		
	US 1988-281376	A3	19881208		

OS MARPAT 110:146668

GI



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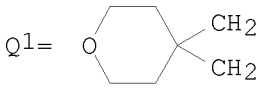
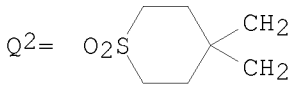
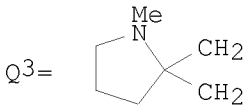
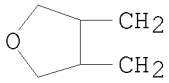
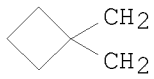










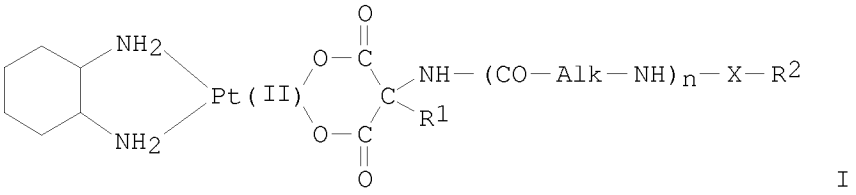
AB The title compds. [I; L, L1 = MeCO2, HOCH2CO2, MeCH2CO2; LL1 = R1R2C(CO2)2; R1, R2 = H, C1-5 alkyl; R1R2 = (CH2)n; n = 2-5; Y = Q1-Q5, etc.], useful as neoplasm inhibitors (no data), were prepared K2PtCl4 in H2O was treated with Me2SO and the mixture was allowed to stand 12 h to give (Me2SO)2PtCl2. The latter was stirred with 1,1-cyclobutanedicarboxylic acid in the dark for 12 h to give 1,1-cyclobutanedicarboxylatobis(sulfinylbismethane)platinum. The latter in H2O was refluxed with trans-(-)-1,2-cyclohexanediamine for 6 h to give (1,1-cyclobutanedicarboxylato)[trans-(-)-1,2-cyclohexanediamine]platinum.

L7 ANSWER 65 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1989:107119 CAPLUS
DN 110:107119
OREF 110:17511a,17514a
TI Preparation of (1,2-diaminocyclohexane)platinum malonates as antitumor agents
IN Tsujihara, Kenji; Ohtsuki, Osamu; Nakatani, Tadashi; Arai, Yoshihisa
PA Tanabe Seiyaku Co., Ltd., Japan
SO Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 281412	A2	19880907	EP 1988-301905	19880304 <--
	EP 281412	A3	19881221		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 64000094	A	19890105	JP 1988-51178	19880303 <--
	DK 8801204	A	19880907	DK 1988-1204	19880304 <--
	FI 8801008	A	19880907	FI 1988-1008	19880304 <--
	AU 8812687	A	19880908	AU 1988-12687	19880304 <--
	AU 604299	B2	19901213		
	HU 47124	A2	19890130	HU 1988-1066	19880304 <--

	HU 198731	B	19891128		
	US 4886894	A	19891212	US 1988-164489	19880304 <--
	CN 88101195	A	19880928	CN 1988-101195	19880305 <--
PRAI	JP 1987-52823	A	19870306		

OS CASREACT 110:107119; MARPAT 110:107119
GI



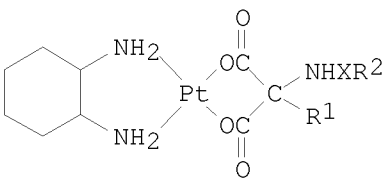
I

AB Title compns. I [R1 = H, alkyl, R2 = H, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkanoyl, amino, heterocyclyl; X = CO, SO2; alkalkylene; n = 1,2] are prepared as antitumor agents (no data). An aqueous solution of 0.87 g (trans-(l)-1,2-diaminocyclohexane)platinum dinitrate was treated with 0.65 g di-Na 2-[[N-(chloroacetyl)glycyl]amino]malonate at room temperature and stirred for 5 h to give [trans-(l)-1,2-diaminocyclohexane]platinum 2-[[N-(chloroacetyl)glycyl]amino]malonate.

L7 ANSWER 66 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1989:50221 CAPLUS
DN 110:50221
OREF 110:8122h,8123a
TI Preparation of diaminocyclohexane platinum malonates as antitumor agents
IN Tsujihara, Kenji; Otsuki, Osamu; Nakatani, Tadashi; Arai, Yoshihisa
PA Tanabe Seiyaku Co., Ltd., Japan
SO Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 284197	A1	19880928	EP 1988-301415	19880219 <--
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 64000093	A	19890105	JP 1988-38247	19880219 <--
	US 4882447	A	19891121	US 1988-157969	19880219 <--
PRAI	JP 1987-38240	A	19870220		

OS MARPAT 110:50221
GI



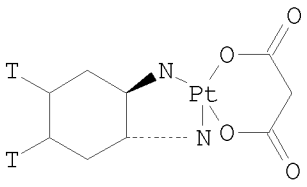
I

AB The title compds. I [R1 = H, alkyl; R2 = (substituted) C1-6 alkyl,

(CH2CH2O)Me, alkenyl, alkanoyl, amino, heterocyclyl; X = CO, sulfonyl; m = 1,2] are prepared as antitumor agents. Reaction of 0.87 g aqueous (trans-l-1,2-diaminocyclohexane)platinum dinitrate and 0.45 g di-Na 2-(acetylamino)malonate (preparation given) at room temperature over 5 h gave 0.51 g (trans-l-1,2-diaminocyclohexane)platinum(II) [2-(acetylamino)malonate] which at 50 mg/kg/day s.c. in mice gave an 89% inhibition rate against sarcoma cells.

L7 ANSWER 67 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1989:44794 CAPLUS
DN 110:44794
OREF 110:7335a,7338a
TI Water soluble 1,2-diaminocyclohexane-platinum(II) complexes: problems of purification; stability of complexes with nitrogen-containing ligands
AU Roberts, John D.; Schmidt, Wendelyn J.; Tong, William P.; Hacker, Miles P.
CS Vermont Reg. Cancer Cent., Univ. Vermont, Burlington, VT, 05401, USA
SO Inorganica Chimica Acta (1988), 153(2), 123-7
CODEN: ICHAA3; ISSN: 0020-1693
DT Journal
LA English
AB Water soluble 1,2-diaminocyclohexaneplatinum(II) antitumor complexes with N-containing dicarboxylato ligands have significant residual impurities as shown by preparative HPLC. Upon further purification, each complex was converted to stable but less active or inactive products. It is possible that tridentate bonding between the N-containing dicarboxylato group and Pt rendered those complexes chemical stable and biol. inert.

L7 ANSWER 68 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1989:23375 CAPLUS
DN 110:23375
OREF 110:3941a,3944a
TI Tritiated platinum antitumor agents containing the trans-(d,1)-1,2-diaminocyclohexane carrier ligand
AU Wyrick, Steven D.; Chaney, Stephen G.
CS Sch. Med., Univ. North Carolina, Chapel Hill, NC, 27514, USA
SO Journal of Labelled Compounds and Radiopharmaceuticals (1988), 25(4), 349-57
CODEN: JLCRD4; ISSN: 0362-4803
DT Journal
LA English
OS CASREACT 110:23375
GI



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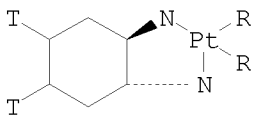
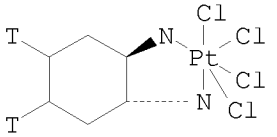


II



III

AB Four T-labeled diaminocyclohexane-Pt complexes I (R = Cl, NO3), II, and III were prepared from K2PtCl4 and the corresponding tritiated trans-diaminocyclohexane. This compound was prepared in turn by catalytic reduction of the diaminocyclohexene precursor with carrier-free T gas over 10% Pd-C.

L7 ANSWER 69 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1988:643074 CAPLUS
DN 109:243074
OREF 109:40019a,40022a
TI Preparation of 1,2-diaminocyclohexane-platinum complexes with antitumor activity
IN Khokhar, Abdul R.; Newman, Robert A.; Krakoff, Irwin H.
PA University of Texas System, USA
SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8803925	A1	19880602	WO 1987-US2996	19871116 <--
	W: AT, AU, BB, BG, BR, CH, DE, DK, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MW, NL, NO, RO, SD, SE, SU				
	RW: AT, BE, BJ, CF, CG, CH, CM, DE, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
	US 5011959	A	19910430	US 1986-932176	19861117 <--
	AU 8783359	A	19880616	AU 1987-83359	19871116 <--
	EP 333756	A1	19890927	EP 1987-908064	19871116 <--
	EP 333756	B1	19920115		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 02500745	T	19900315	JP 1988-500306	19871116 <--
	AT 71630	T	19920215	AT 1987-908064	19871116 <--
PRAI	US 1986-932176	A	19861117		
	EP 1987-908064	A	19871116		
	WO 1987-US2996	A	19871116		

OS MARPAT 109:243074
AB Water-soluble, square planar, title compds. (I) are prepared as antitumor agents. An aqueous solution of 0.423 g trans-(R,R)-1,2-diamiocyclohexaneplatinum sulfate was treated with 0.332 g Ba ethyleneiminodiacetate. The reaction was stirred 0.5 h, BaSO4 was removed, and 56% (trans-(R,R)-1,2-diamiocyclohexane)platinum N-ethyleneiminodiacetate was isolated. This had an optimal dose of 3.15 mg/kg administered over 9 days and a T/C of 434% in tests against L1210 leukemia in vivo using mice.

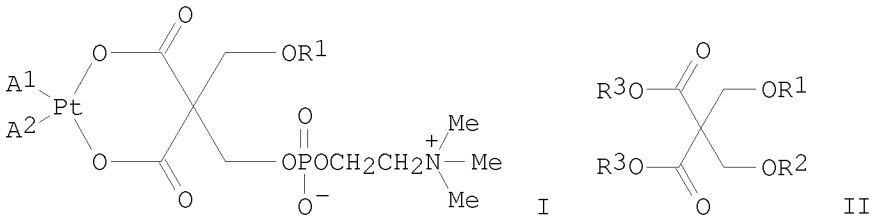
L7 ANSWER 70 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1988:503636 CAPLUS
DN 109:103636
OREF 109:17114h,17115a
TI Preparation of cis-platinum(II) complexes containing phospholipid as antitumor agents
IN Nagai, Takashi; Miyokan, Isao; Kitayama, Isao; Funaki, Takashi; Tabiie, Nobuhisa; Miyahara, Maki; Hori, Takako
PA Toyama Chemical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	JP 62298597	A	19871225	JP 1986-142160	19860618 <--
	JP 07053745	B	19950607		
PRAI	JP 1986-142160		19860618		

OS CASREACT 109:103636

GI



I

II

AB The title compds. [I; A1, A2 = ammine, (substituted) alkylamine, cycloalkylamine; or A1A2 = bidentate amine; R1 = H, fatty acid residue], useful as antitumor agents, are prepared H2C[CO2CHPh2]2 was hydroxymethylated with HCHO to give diol II (R1 = R2 = H, R3 = CHPh2) which was acylated with stearic acid to give monoester II [R1 = Me(CH2)16CO, R2 = H, R3 = CHPh2] which was esterified with BrCH2CH2OPCl2 to give bromoethyl phosphate II [R1 = Me(CH2)16CO, R2 = (HO)P(O)OCH2CH2Br, R3 = CHPh2] (III). III was quaternized with Me3N to give trimethylammonioethyl phosphate II [R1 = Me(CH2)16CO, R2 = (O-)P(O)OCH2CH2N+Me3, R3 = CHPh2] hydrate which was then deprotected to give dicarboxylic acid II [R1 = Me(CH2)16CO, R2 = (O-)P(O)OCH2CH2N+Me3, R3 = H] hydrate which (389 mg) in water at pH 6-7 was stirred with addition of aqueous cis-Pt(NH3)2(NO3)2 in darkness for 2 h to give Pt complex cis-I [A1 = A2 = NH3, R1 = Me(CH2)16CO]. Sep. prepared cis-I [A1A2 = trans-dl-1,2-diaminocyclohexane, R1 = Ac] showed IC50 of 0.31 μg/mL against L-1210 tumor cells in RPMI-culture, increased the survival rate to >190% at 11.5 μmol/kg in mice having ascite tumor, and LD50 of 80 mg/kg i.p. in mice, vs. 0.48 μg/mL, >168% at 10.0 μmol/kg, and 14 mg/kg, resp., for cisplatin.

L7 ANSWER 71 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1988:484995 CAPLUS

DN 109:84995

OREF 109:14023a,14026a

TI Antitumor activity and property of platinum(IV) complexes containing 1,2-cyclohexanediamine and 2-(aminomethyl)cyclohexylamine isomers

AU Noji, Masahide; Sumi, Maki; Ohmori, Takayuki; Mizuno, Mayumi; Suzuki, Kenjiro; Tashiro, Tazuko; Kidani, Yoshinori

CS Fac. Pharm. Sci., Nagoya City Univ., Nagoya, 467, Japan

SO Nippon Kagaku Kaishi (1988), (4), 675-83
CODEN: NKAKB8; ISSN: 0369-4577

DT Journal

LA Japanese

AB Sixteen Pt(IV) complexes, containing 1,2-cyclohexanediamine (dach) or 2-(aminomethyl)cyclohexylamine (amcha), were prepared as a carrier ligand to increase water-solubility of the corresponding Pt(II) complexes. Their antitumor activity was tested against murine leukemia L 1210, and almost all of the Pt(IV) complexes tested were antitumor active. Pt(IV) dach complexes showed higher antitumor activity than Pt(IV) amcha complexes and among the former complexes, Pt(IV) complexes containing l-dach exhibited higher activity than those of other dach isomers, i.e., meso- and d-dach. trans-PtCl2L(l-dach) (H2L = oxalic, malonic acids) and trans-PtCl2(C2O4)(Dl-trans-amcha) exhibited excellent antitumor activity.

In general, the reactivity of Pt(IV) complexes is low compared with that of Pt(II) complexes. Pt(IV) dach complexes were easily photoreduced by ascorbic acid which may support indirectly the hypothesis that Pt(IV) complexes are not antitumor active, instead their reduced Pt(II) complexes are responsible for the activity.

L7 ANSWER 72 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1988:215203 CAPLUS
DN 108:215203
OREF 108:35183a,35186a
TI A convenient method for the preparation of antitumor carboxylato(1,2-diaminocyclohexane)platinum(II) complexes
AU Khokhar, Abdul R.; Lumetta, Gregg; Doran, Sheryl L.
CS Dep. Med. Oncol., Univ. Texas, Houston, TX, 77030, USA
SO Inorganica Chimica Acta (1988), 151(2), 87-8
CODEN: ICHAA3; ISSN: 0020-1693
DT Journal
LA English
AB PtCl2(DACH) (DACH = 1,2-diaminocyclohexane) reacted with Ag2CO3 under N to give Pt(CO3)(DACH) (I). I reacted with malonic acid (H2L) or 1,1-cyclobutanedicarboxylic acid (H2L1) to give PtL2(DACH) (H2L2 = H2L, H2L1). The complexes were characterized by IR spectra.

L7 ANSWER 73 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1988:178947 CAPLUS
DN 108:178947
OREF 108:29215a,29218a
TI A new synthetic method for diaminomalonatoplatinum type complexes and the unexpected behavior of dichloro(trans-1,2-diaminocyclohexane)platinum
AU Pasini, Alessandro; Caldirola, Cristina
CS Dip. Chim. Inorg. Metallorg., Univ. Milano, Milan, 20133, Italy
SO Inorganica Chimica Acta (1988), 151(1), 19-20
CODEN: ICHAA3; ISSN: 0020-1693
DT Journal
LA English
AB cis-Pt(NH3)2Cl2 in DMF reacted with 1,1-cyclobutanedicarboxylic acid (H2L), followed by addition of KOH, to give Pt(NH3)2L in 80% yield; when cis-Pt(NH3)2 was used the yield was 40%. Pt(NH3)2L1 (H2L1 = malonic acid (H2mal), 2-hydroxymalonic acid), PtQL2 (Q = en, trans-diaminocyclohexane; H2L2 = H2L and H2mal; Q = cis-diaminocyclohexane, HOCH2CH2NHCH2CH2NH2, H2L2 = H2L) were prepared similarly. The reaction of PtQCl2 (Q = I) with H2mal gave a mixture of products, [PtQ(H2O)2]mal being the predominant.

L7 ANSWER 74 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1988:48204 CAPLUS
DN 108:48204
OREF 108:7876h,7877a
TI Preparation of cyclohexanediamine platinum complexes as antitumor agents
IN Brown, David B.; Khokhar, Abdul R.; Hacker, Miles P.; Mccormack, John J.
PA Research Corp. , USA
SO U.S., 20 pp. Cont.-in-part of U.S. Ser. No. 636,522, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4661516	A	19870428	US 1985-723107	19850415 <--
	US 4758588	A	19880719	US 1987-15643	19870217 <--
PRAI	US 1983-505965	A2	19830620		
	CA 1984-456842	A	19840618		
	US 1984-636522	A2	19840801		

DK 1984-3016	A	19840620
EP 1984-107104	A	19840620
GR 1984-75062	A	19840620
IE 1984-1545	A	19840620
JP 1984-128388	A	19840620
US 1985-723107	A3	19850415

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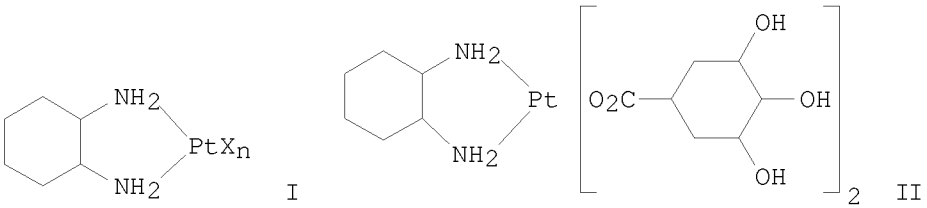
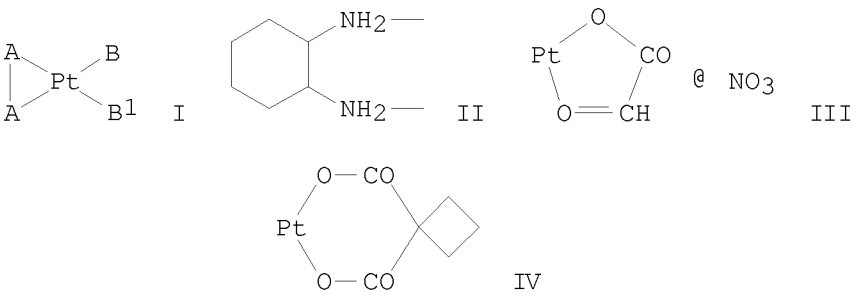


AB The title compds. I (n = 1,2; X = monovalent anions such as isethionate, monosaccharate, proline, cycloalkenecarboxylate, alkanesulfonate etc., or X = divalent anions such as iminodiacetate, isocitrate lactone, furanedicarboxylate etc.) are prepared as antitumor agents. An aqueous solution of 1.0 mmol (1,2-diaminocyclohexane)platinum sulfate was treated with 1.0 mmol Ba shikimate. The solution was stirred at room temperature for 20 mins and BaSO4 was filtered off leaving 80 % cis-(1,2-diaminocyclohexane)platinum bis(shikimate) (II). At 100 mg/kg i.p. in mice II had T/C % of 217 against L1210 tumor cells.

L7 ANSWER 75 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1987:629147 CAPLUS
DN 107:229147
OREF 107:36623a,36626a
TI Novel platinum(II) complexes as neoplasm inhibitors
IN Yoshitani, Yoshitoku; Nomichi, Masahide
PA Japan
SO Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 62059289	A	19870314	JP 1985-196887	19850907 <--
PRAI JP 1985-196887		19850907		

GI

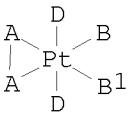


AB Novel Pt(II) complexes I (cyclic A-A = II, etc; B and B' may link to form III or IV; or B, B' = OCOCOMe) show antitumor activities. 1,1-Cyclobutanedicarboxylate-(trans-l-1,2-cyclohexanediamine)platinum(II) complex (50 mg/kg) administered to leukemia L-1210 cell-bearing CDF mice (on days 1, 5, and 9 after cancer cell inoculation) prolonged the survival timeby 235%. For preparation of the Pt(II) complex, (cis-1,2-cyclohexanediamine)platinum nitrate was combined with 1,1-cyclobutanedicarboxylic acid.

L7 ANSWER 76 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1987:627935 CAPLUS
DN 107:227935
OREF 107:36417a,36420a
TI Preparation of aminoplatinum complexes as antitumor agents
IN Kidani, Yoshinori; Noji, Masahide
PA Japan
SO Eur. Pat. Appl., 56 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 237450	A2	19870916	EP 1987-420061	19870304 <--
	EP 237450	A3	19880107		
	EP 237450	B1	19910515		
	R: DE, FR, GB				
	JP 62207283	A	19870911	JP 1986-48625	19860307 <--
	JP 04062320	B	19921005		
	US 4845124	A	19890704	US 1987-20893	19870302 <--
PRAI	JP 1986-48625	A	19860307		

OS MARPAT 107:227935
GI



I

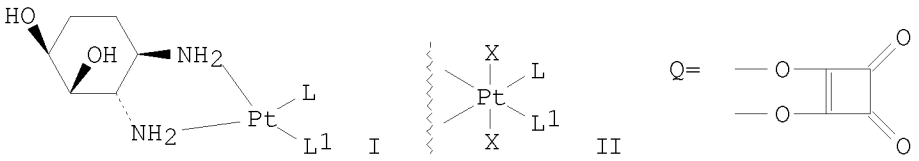
AB Title complexes I [AA = 1,2-cyclohexanediamine 2-(aminomethyl)cyclohexylamine; B,B1 = Cl; BB1 = bidentate carboxylato; D = Cl, NO3, OH] are prepared as antitumor agents. An aqueous suspension of cis-(1,2-cyclohexanediamine)PtCl2 was chlorinated by bubbling Cl2 into the suspension for 40 min at 80° to give cis(1,2-cyclohexanediamine)PtCl4, which at 6.25 mg/kg i.p. produced a 202 % prolongation of the mean survival period in tests against L-1210 leukemia in mice.

L7 ANSWER 77 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1987:589633 CAPLUS
DN 107:189633
OREF 107:30219a,30222a
TI Hydroxylated 1,2-diaminocyclohexane platinum complexes
IN Hlavka, Joseph J.; Lin, Yang I.; Bitha, Panayota
PA American Cyanamid Co., USA
SO U.S., 7 pp.
CODEN: USXXAM
DT Patent

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4670458	A	19870602	US 1986-824479	19860131 <--
	EP 232785	A1	19870819	EP 1987-101032	19870126 <--
	EP 232785	B1	19910130		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
	AT 60574	T	19910215	AT 1987-101032	19870126 <--
	ES 2031837	T3	19930101	ES 1987-101032	19870126 <--
	CA 1271774	A1	19900717	CA 1987-528459	19870129 <--
	JP 62246543	A	19871027	JP 1987-21640	19870131 <--
PRAI	US 1986-824479	A	19860131		
	EP 1987-101032	A	19870126		

OS MARPAT 107:189633
GI



AB The title compds. [I and II; L, L1 = halo, NO3-, SO42-, monobasic carboxylate such as AcO-, HOCH2CO2-; LL1 = Q, O2CZCO2; X = OH, halo; Z = bond, (CH2)n, MeCH, CH2S(O)2CH2, CHCH2CO2H, CH2N(CH2CO2H)CH2, CH(CH2CO2H)CH2, C(OH)(CH2CO2H)CH2, CH2CH(CH2CO2H)CH2; (n = 1-3], useful as antitumor agents, were prepared Cycloaddn. of 1-chloro-1-nitrosocyclohexane with 1,3-cyclohexadiene in CCl4 at -20° for 6 days and reduction of the resulting 2-oxa-3-azabicyclo[2.2.2]oct-5-ene-HCl with Zn and concentrated HCl gave cis-4-amino-2-cyclohexen-1-ol which was acetylated with Ac2O in pyridine to give cis-3-acetoxy-6-acetamidocyclohexene. Epoxidn. of the latter with 75% H2O2 and (CF3CO)2O in CH2Cl2 at 0° followed by amination with concentrated NH4OH in MeOH under reflux gave, after hydrolysis with concentrated HCl, (1α,2α,3β,4α)-3,4-diamino-1,2-cyclohexanediol. Reaction of the latter with K2PtCl4 in H2O at pH 7.9 gave I (L = L1 = Cl) (II). At 3 mg/kg and 12 mg/kg II prolonged by 61% and >130% the life span of mice transplanted with melanoma B16 and colon 26 adenocarcinoma, resp.

L7 ANSWER 78 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1987:188561 CAPLUS
DN 106:188561
OREF 106:30409a,30412a
TI Syntheses and antitumor activities of 1R,2R-cyclohexanediamine platinum(II) complexes containing dicarboxylates
AU Noji, Masahide; Suzuki, Kenjiro; Tashiro, Tazuko; Suzuki, Makoto; Harada, Kenichi; Masuda, Katsuyoshi; Kidani, Yoshinori
CS Fac. Pharm. Sci., Nagoya City Univ., Nagoya, 467, Japan
SO Chemical & Pharmaceutical Bulletin (1987), 35(1), 221-8
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
AB New 1R,2R-cyclohexanediamine (1R,2R-dach) Pt(II) complexes containing dicarboxylate ions, i.e., ketomalonate, malate, saccharate, glutarate, diphenate, and α,β-diphenylsuccinate were synthesized and tested against leukemia L1210 in vivo. All of the dicarboxylato Pt(II) complexes showed relatively high antitumor activities with T/C% values of

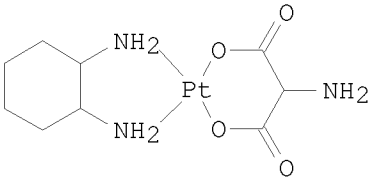
>200 at optimal doses. In particular, mucato [97335-99-4] and α,β-diphenylsuccinato Pt(II) complexes [97313-12-7] exhibited excellent antitumor activities with T/C% values of 348 and 369, resp., with 3 cured mice out of 6. The dicarboxylato Pt(II) complexes were determined by elemental analyses to contain dicarboxylates:Pt:1R,2R-dach in a ratio of 1:1:1. The mol. secondary ion mass spectra of saccharato [107999-25-7] andglutarato Pt(II) complexes [63037-38-7] indicate that these complexes exist in a binuclear form together with a mononuclear form in aqueous solution

L7 ANSWER 79 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1987:187804 CAPLUS
DN 106:187804
OREF 106:30289a,30292a
TI Aminomalonato(1,2-diaminocyclohexane)platinum(II): a competitive antitumor compound within a new class of neutral, chemically stable, water soluble, functionalized platinum(II) complexes
AU Gandolfi, Ottavio; Apfelbaum, Haim C.; Blum, Jochanan
CS Dep. Org. Chem., Hebrew Univ. Jerusalem, Jerusalem, 91904, Israel
SO Inorganica Chimica Acta (1987), 135(1), 27-31
CODEN: ICHAA3; ISSN: 0020-1693
DT Journal
LA English
AB Antitumor, neutral, chemical stable, water-soluble and functionalized aminomalonato-Pt(II) complexes were prepared and their mode of coordination characterized by elemental anal. and IR spectra. Among this new class of compds., (aminomalonato)(1,2-diaminocyclohexane)platinum(II) was selected for 13C NMR measurements and for initial evaluation against L 1210 and B 16 melanoma. The preliminary biol. results reveal the high antineoplastic potential of this compound

L7 ANSWER 80 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1987:67509 CAPLUS
DN 106:67509
OREF 106:11114h,11115a
TI Organoplatinum(II) complexes as antitumor agents
IN Gandolfi, Ottavio
PA Yissum Research Development Co., Israel
SO U.S., 6 pp. Cont.-in-part of U.S. Ser. No. 572,180 abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4614811	A	19860930	US 1985-713178	19850318 <--
	IL 67789	A	19860930	IL 1983-67789	19830131 <--
PRAI	IL 1983-67789	A	19830131		
	US 1984-572180	A2	19840119		

OS MARPAT 106:67509
GI



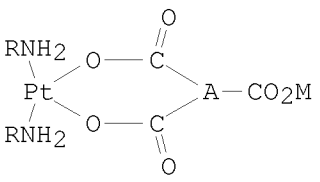
I

AB L2Pt(O2C)2CHNH2 (L = monodentate aliphatic amine or one bidentate aliphatic amine) are prepared as antitumor agents by a substitution reaction of NH2CH(CO2-)2Ba2+ with L2PtSO4. Thus, a suspension of NH2CH(CO2-)2Ba2+ 1, AgSO4 0.53, and LPtI2 (L = 1,2-diaminocyclohexane) 1 g was stirred for 0.5 h at 50°, and AgI and BaSO4 were removed to give 88% I which proved highly effective against L1210 leukemia at 16-64 mg/kg i.p. or i.v. in mice.

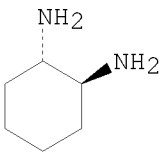
L7 ANSWER 81 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1987:50469 CAPLUS
DN 106:50469
OREF 106:8367a,8370a
TI Platinum complexes of aliphatic tricarboxylic acid
IN Bitha, Panayota; Child, Ralph Grassing; Hlavka, Joseph John; Lin, Yang I.
PA American Cyanamid Co., USA
SO Eur. Pat. Appl., 43 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 185225	A1	19860625	EP 1985-114932	19851126 <--
EP 185225	B1	19900103		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
US 4665210	A	19870512	US 1985-790601	19851028 <--
AT 49214	T	19900115	AT 1985-114932	19851126 <--
IL 77190	A	19881230	IL 1985-77190	19851201 <--
ZA 8509572	A	19860827	ZA 1985-9572	19851213 <--
CA 1241338	A1	19880830	CA 1985-497565	19851213 <--
DK 8505827	A	19860618	DK 1985-5827	19851216 <--
FI 8504970	A	19860618	FI 1985-4970	19851216 <--
FI 79541	B	19890929		
FI 79541	C	19900110		
NO 8505044	A	19860618	NO 1985-5044	19851216 <--
AU 8551249	A	19860626	AU 1985-51249	19851216 <--
AU 569425	B2	19880128		
JP 61171496	A	19860802	JP 1985-281237	19851216 <--
PL 149311	B1	19900228	PL 1985-256836	19851216 <--
HU 39753	A2	19861029	HU 1985-4824	19851217 <--
HU 193840	B	19871228		
PRAI US 1984-682951	A	19841217		
EP 1985-114932	A	19851126		

OS MARPAT 106:50469
GI



I



II

AB The title compds. I (R = H, alkyl; RR = cycloalkyldiyl; A = trivalent aliphatic hydrocarbyl; M = H, Na, K), useful as anticancer agents, are prepared Thus, 4.56 II was treated with 16.6 g K2PtCl4 in H2O, and the product was treated with AgNO3 and HO2CCH2CH(CO2H)2 to give 0.868 g I (RR =

1,2-cyclohexanediyl; A = CH2CH; M = H) which at 12.5 mg/kg i.p. in mice having lymphocytic leukemia L 1210, showed a median survival rate of 17.8 days vs. 9.2 days for 6 mg/kg i.p. cisplatin.

L7 ANSWER 82 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1987:62 CAPLUS
DN 106:62
OREF 106:3a,6a
TI High-performance liquid chromatographic separation of platinum complexes containing the cis-1,2-diaminocyclohexane carrier ligand
AU Mauldin, Stanley K.; Richard, Fred A.; Plescia, Marcus; Wyrick, Steven D.; Sancar, Aziz; Chaney, Stephen G.
CS Sch. Med., Univ. North Carolina, Chapel Hill, NC, 27514, USA
SO Analytical Biochemistry (1986), 157(1), 129-43
CODEN: ANBCA2; ISSN: 0003-2697
DT Journal
LA English
AB A 2-column HPLC system which can be used to sep. many likely 1,2-diaminocyclohexane (dach)-Pt biotransformation products from the parent compds. and allow their identification is described. An initial separation on a reverse-phase Partisil ODS-3 column allowed resolution of the uncharged species. The peak fractions from this column were concentrated 10-fold and reinjected onto a cation exchange Partisil 10 SCX column to allow resolution of the pos.-charged species. This system allowed resolution of 2 prototype dach-Pt drugs, (cis-1,2-diaminocyclohexane)dichloroplatinum(II) [61848-70-2] and (cis-1,2-diaminocyclohexane)malonatoplatinum(II) [61848-63-3], the aquated species likely to form from these drugs, and the complexes formed when these compds. react with glutathione, metallothionein, and amino acids. By using cation-exchange chromatog. at pH 2.3 as well as pH 4 and by using 14C-labeled amino acids to determine stoichiometry, it was also possible to determine the most likely structures for some of the amino acid complexes. Most importantly, this system allowed clear separation of many of the likely biotransformation products tested from the biol. important aquated species. This system should prove useful for separating and identifying the biotransformation products of dach-Pt drugs in blood and urine, in tissue culture media, and inside the cell.

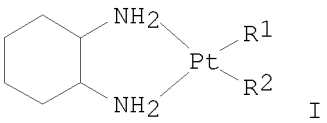
L7 ANSWER 83 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1985:626308 CAPLUS
DN 103:226308
OREF 103:36285a,36288a
TI The synthesis and antitumor properties of a series of water soluble carboxylato(1,2-diaminocyclohexane)platinum(II) complexes
AU Khokhar, Abdul R.; Krakoff, Irwin H.; Hacker, Miles P.; McCormack, John J.
CS Tumor Inst., M. D. Anderson Hosp., Houston, TX, 77030, USA
SO Inorganica Chimica Acta (1985), 108(1), 63-6
CODEN: ICHAA3; ISSN: 0020-1693
DT Journal
LA English
AB Water soluble Pt(RCO2)2L (L = 1,2-diaminocyclohexane; R = cyclo-CnH2n-1 (n = 3-6), cyclopenten-1-yl, cyclohexen-1-yl, cyclopentylmethyl, cycloheptylmethyl) and PtL1L (H2L1 = 1,1-cyclopropanedicarboxylic acid, 1,1-cyclohexanediacetic acid) were prepared and their mode of coordination characterized by elemental anal. and IR spectra. Preliminary in vitro and in vivo screening tests for antitumor activity of these complexes against L1210 murine leukemia were performed. The results indicate that this class of complexes has good in vivo efficacy that can be greatly increased by multiple drug administration.

L7 ANSWER 84 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1985:547156 CAPLUS
DN 103:147156
OREF 103:23503a,23506a
TI Cytostatic platinum complexes
IN Kidani, Yoshinori; Noji, Masahide
PA Japan
SO Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 136012	A1	19850403	EP 1984-305304	19840803 <--
	EP 136012	B1	19890419		
	R: DE, FR, GB				
	JP 60034982	A	19850222	JP 1983-143405	19830805 <--
	JP 04079353	B	19921215		
	JP 60097991	A	19850531	JP 1983-206215	19831102 <--
PRAI	JP 1983-143405	A	19830805		
	JP 1983-206215	A	19831102		

OS MARPAT 103:147156
GI



I

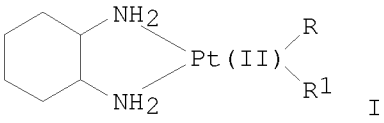
AB Cytostatic 1,2-diaminocyclohexaneplatinum (II) complexes I (R1 or R2 = NO3-; R1 and R2 = MO2C(CH2OH)2CO2-; R1R2 = -O2C(CHOH)4CO2-, etc.; M = alkali metal; the diaminocyclohexane cis- or trans) prepared by treating for example dinitrato(l-trans-1,2-diaminocyclohexane) platinum(II) [66900-68-3] with the appropriate acid, may be formulated for oral, parenteral, topical, or rectal administration. Thus, the nitratoplatinum 1.5 g was dissolved by heating in H2O (10 mL), cooled to room temperature and the solution formed was added to mucic acid 0.75 g suspended in H2O (10 mL) and 5% NaOH. The 2 solns. were mixed, the mixture (pH 4) allowed to stand at room temperature for 4 days, resulted in the formation of a precipitate which was dried at 50-60° to give 1.03 g (l-trans-1,2-cyclohexanediamine)platinum(II) mucate (I; R1R2 = C3H8O8) [97335-99-4]. The cytostatic activity was demonstrated.

L7 ANSWER 85 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1985:473360 CAPLUS
DN 103:73360
OREF 103:11799a,11802a
TI 1,2-Diaminocyclohexane-platinum(II) complex
PA Kitani, Yoshitoku, Japan
SO Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 60034982	A	19850222	JP 1983-143405	19830805 <--
	JP 04079353	B	19921215		

	EP 136012	A1	19850403	EP 1984-305304	19840803 <--
	EP 136012	B1	19890419		
	R: DE, FR, GB				
	US 4710577	A	19871201	US 1984-637463	19840803 <--
PRAI	JP 1983-143405	A	19830805		
	JP 1983-206215	A	19831102		

GI



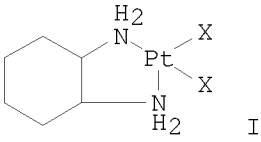
I

AB Twelve title Pt(II) complexes [I; R, R1 = NO3, MO2C(CHOH)2CO2- where M = alkali metal, tetraacetyl-α-D-glucuronato; RR1 = -O2C(CHOH)nCO2- where n = 2, 4; -O2CCH2CH2CH2CO2-, 2,2'-biphenyldicarboxylate, -O2CCHPhCHPhCO2-, -O2CCOCO2-, -O2C(CHOAc)4CO2-] in cis or trans configuration were prepared I were effective antitumors at 3.12-100 mg/kg in mice. Thus, 3.5 mmol mucic acid was added to a solution of 3.5 mmol trans-l-I (R = R1 = NO3) in H2O followed by 5% NaOH to pH 4, and kept at room temperature to give 85% trans-l-I [RR1 = -O2C(CHOH)4CO2-].

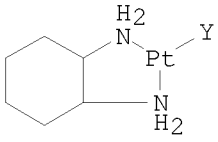
L7 ANSWER 86 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1985:400620 CAPLUS
DN 103:620
OREF 103:119a,122a
TI Diaminocyclohexaneplatinum complexes, and pharmaceutical compositions containing them
IN Brown, Davis B.; Khokhar, Abdul R.; Hacker, Miles P.; McCommack, John J.
PA Research Corp. , USA
SO Eur. Pat. Appl., 65 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 130482	A1	19850109	EP 1984-107104	19840620 <--
	EP 130482	B1	19881228		
	R: BE, CH, DE, FR, GB, IT, LI, LU, NL				
	DK 8403016	A	19841221	DK 1984-3016	19840620 <--
	JP 60013795	A	19850124	JP 1984-128388	19840620 <--
	US 4758588	A	19880719	US 1987-15643	19870217 <--
PRAI	US 1983-505965	A	19830620		
	CA 1984-456842	A	19840618		
	DK 1984-3016	A	19840620		
	EP 1984-107104	A	19840620		
	GR 1984-75062	A	19840620		
	IE 1984-1545	A	19840620		
	JP 1984-128388	A	19840620		
	US 1984-636522	A2	19840801		
	US 1985-723107	A3	19850415		

GI



I



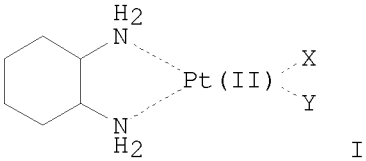
II

AB The title compds. I (X = monovalent anion such as ascorbate, isoascorbate, shikimate, proline cyclopentanecarboxylate, etc.) and II (Y = divalent anion such as iminodiacetate, furandicarboxylate, N-methyliminodiacetate, etc.) prepared by the reaction of a water-soluble haloplatinate(II) in an aqueous medium with diaminocyclohexane (DACH) to a dihalo(DACH)-Pt(II), reaction of this product with a soluble sulfate salt in an aqueous medium to the sulfato(DACH)Pt(II), and reaction of this compound with a soluble salt of X or Y, are useful for antitumor pharmaceuticals. Thus, cis-dishikimatodiaminocyclohexaneplatinum(II) (I; X = shikimate monovalent anion) [96322-25-7] prepared by the reaction of sulfatodiaminecyclohexaneplatinum(II) [62011-40-9] with Ba shikimate, administered at 100 mg/kg (i.p.) .apprx.24 h to mice after inoculation with L1210 cells, showed 30 days survival after inoculation in 2 of 6 animals.

L7 ANSWER 87 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1984:577510 CAPLUS
DN 101:177510
OREF 101:26781a,26784a
TI Cis-1,2-Diaminocyclohexane platinum complexes
PA Fabrica de Productos Quimicos y Farmaceuticos Abello S. A., Spain
SO Belg., 16 pp.
CODEN: BEXXAL
DT Patent
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 898614	A1	19840502	BE 1984-212161	19840105 <--
PRAI BE 1984-212161		19840105		

GI



I

AB cis-1,2-Diaminocyclohexane platinum (II) complexes (I, X and Y = sulfates, sulfonates, nitrates, carboxylates, etc.) are prepared for use as neoplasm inhibitors. Cis-dichloro-1,2-diaminocyclohexane platinum [52691-24-4] was treated with AgNO3 and the resulting dinitrate complex [81473-15-6] obtained was further treated with 3-bromopyruvic acid [1113-59-3] to yield cis-bis(3-bromopyruvato)-1,2-diaminocyclohexaneplatinum [92389-55-4]. The yield was 70%.

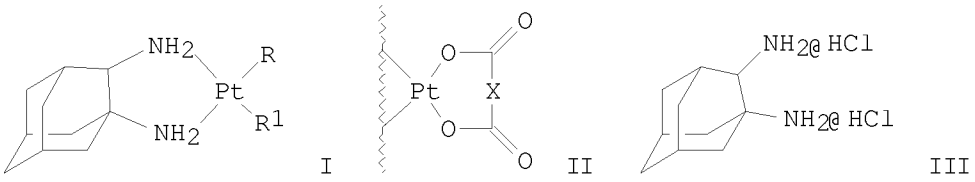
L7 ANSWER 88 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1984:16715 CAPLUS

DN 100:16715
OREF 100:2539a,2542a
TI Synthesis of new platinum(II) complexes with o-phenylenediamine, o-aminophenol, ethanolamine and oxygen-donor ligands
AU Syamal, Arun; Gupta, Bhubnesh K.
CS Dep. Appl. Sci. Hum., Kurukshetra Univ., Kurukshetra, 132119, India
SO Transition Metal Chemistry (Dordrecht, Netherlands) (1983), 8(5), 280-2
CODEN: TMCHDN; ISSN: 0340-4285
DT Journal
LA English
AB [PtLL1] (L = o-(H2N)2C6H4, o-H2NC6H4OH, H2NCH2CH2OH, H2L1 = H2C2O4, malonic acid, Me malonate, Et malonate) and [PtLL22] (HL2 = HCO2H, HOAc, glycine, crotonic acid) were prepared and characterized by elemental anal., elec. conductivity, magnetic susceptibility, and IR and electronic spectral methods. The complexes are nonelectrolytes, diamagnetic and square planar.

L7 ANSWER 89 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1983:539296 CAPLUS
DN 99:139296
OREF 99:21397a,21400a
TI Adamantane platinum complexes SEC: 23
PA Shionogi and Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 58079994	A	19830513	JP 1981-178540	19811106 <--
PRAI JP 1981-178540		19811106		

GI



I II III

AB I [R, R1 = halo, NO3, OH, SO4, O2C(CmC2mOm-1)-OH, -CHO where m = 1-6] and II (X = bond, CHR2 where R2 = H, OH, alkyl) were prepared and data for their antitumor activity given in mice and humans. Thus, stirring a mixture of 730 mg III, 1270 mg K2PtCl4, and 504 mg NaHCO3 in 20 mL H2O at room temperature for 3 days gave 1250 mg I (R = R1 = Cl).

L7 ANSWER 90 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1983:400530 CAPLUS
DN 99:530
OREF 99:115a,118a
TI Complexes of square planar platinum(II) compounds and N-methylglucamine
IN Turkevich, John; Burchenal, Joseph H.
PA Research Corp. , USA
SO U.S., 9 pp.
CODEN: USXXAM
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4376782	A	19830315	US 1980-151976	19800521 <--
	CA 1177071	A1	19841030	CA 1981-383570	19810810 <--
PRAI	US 1980-151976		19800521		

OS MARPAT 99:530

AB Complexes or salts of square planar Pt(II) compds. with N-methylglucamine (NMg), prepared by solubilizing a Pt(II) compound with NMG in an aqueous medium, are effective antitumor agents. Thus, heating 100 mg cis-malonato-1,2-diaminocyclohexaneplatinum(II) with 200 mg NMG in 25 mL H2O at 50° for 4-8 h with frequent stirring increased the solubility of the Pt compound >40-fold and increased its therapeutic effectiveness 10-fold in leukemic mice, with no apparent change in therapeutic index. Maximal activity was noted with a Pt/NMG mole ratio of 1:2.

L7 ANSWER 91 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1982:444322 CAPLUS

DN 97:44322

OREF 97:7435a,7438a

TI Salts of 2-hydroxymalonate platinum complexes

IN Kaplan, Murray A.; Granatek, Alphonse P.

PA Bristol-Myers Co. , USA

SO U.S., 13 pp. Cont.-in-part of U.S. Ser. No. 172,805, abandoned.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4322362	A	19820330	US 1981-227324	19810122 <--
	AU 538863	B2	19840830	AU 1981-70588	19810514 <--
	ZA 8103467	A	19820929	ZA 1981-3467	19810522 <--
	EP 41644	A2	19811216	EP 1981-104020	19810525 <--
	EP 41644	A3	19820203		
	EP 41644	B1	19840912		
	R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	AT 9353	T	19840915	AT 1981-104020	19810525 <--
	JP 57011991	A	19820121	JP 1981-78769	19810526 <--
	JP 01007999	B	19890210		
	CA 1173452	A1	19840828	CA 1981-378325	19810526 <--
PRAI	US 1980-153117	A2	19800527		
	US 1980-172805	A2	19800728		
	US 1981-227324	A	19810122		
	EP 1981-104020	A	19810525		

AB Water-soluble salts of 2-hydroxymalonatodiammineplatinum(II) (I) [52260-82-9], 2-hydroxymalonato(1,2-diaminocyclohexane)platinum(II) [61593-73-5] and 2-hydroxymalonato(1,1-diaminomethylcyclohexane)platinum(II) [82313-89-1] are used in i.v. dosage forms for treating mammalian tumors. The water solubility of these salts permit them to be administered by i.v. as well as other routes. Thus, an aqueous solution of I was treated with NH4OH in the dark at 22° for 24 h and a pH 10.7 solution was obtained. The solution was filtered and lyophilized to yield I ammonium salt (II) [82313-95-9]. The antileukemic activity of II was demonstrated on L 1210 cells following i.p. administration. The salt was comparable to I in terms of its potency and antileukemic activity and the maximum T/C was 164%.

L7 ANSWER 92 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1982:162946 CAPLUS

DN 96:162946

OREF 96:26834h,26835a
TI Organoplatinum complexes with antitumor activity
IN Totani, Tetsushi; Yamaguchi, Kenji
PA Shionogi and Co., Ltd. , Japan
SO Fr. Demande, 19 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2481696	A1	19811106	FR 1981-7932	19810421 <--
	JP 56154493	A	19811130	JP 1980-58359	19800430 <--
	US 4359425	A	19821116	US 1981-249455	19810331 <--
	GB 2074567	A	19811104	GB 1981-10578	19810403 <--
	DE 3117216	A1	19820304	DE 1981-3117216	19810430 <--
PRAI	JP 1980-58359	A	19800430		

OS MARPAT 96:162946
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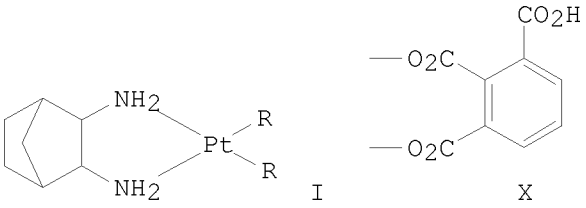


I

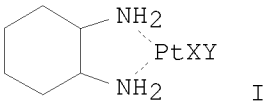
X

AB Diamine complexes I (R = halide, nitrate, sulfonato, monocarboxylato, sulfato, dicarboxylato) were prepared from (exo,cis-2,3-diaminobicyclo[2.2.1]heptane diacetate and K2PtCl4 to give I (R = Cl) (II), followed by treatment of II or I (R = NO3) with the appropriate reagents. In this way were prepared I (R = O2CCH2Cl, O2CCH2OH, D-glucuronato; RR = OSO3, O2CCH2CO2, O2CCO2, X). Several I showed powerful activity against leukemia in mice.

L7 ANSWER 93 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1980:461773 CAPLUS
DN 93:61773
OREF 93:9943a,9946a
TI 1,2-Diaminocyclohexane platinum(II) complexes having antineoplastic activity
IN Gale, Glen R.; Meischen, Sandra J.
PA United States Dept. of Health, Education, and Welfare, USA
SO U. S. Pat. Appl., 28 pp. Avail. NTIS.
CODEN: XAXXAV
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 50554	A0	19800328	US 1979-50554	19790720 <--
PRAI	US 1979-50554		19790720		

GI



I

AB Platinum complexes I [X = ONO2, Y = ONO2 or OH; X = OSO3H, Y = OH; or XY = O2CCH(OR)CO2, R = H or OH] are antitumor agents with sufficient water solubility for use in aqueous i.v. fluids. For example, sulfato(1,2-diaminocyclohexane)platinum(II) [64363-09-3] was prepared by reaction of 1.0 g dichloro(1,2-diaminocyclohexane)platinum(II) [52691-24-4] with 0.81 g Ag2SO4 in water at room temperature This compound had a water solubility >15.0 mg/mL and produced an increase in life span of 285% in mice which were injected i.p. with 105 L 1210 leukemia cells and then administered the compound (3.33 mg/kg i.p. on the 1st, 5th, and 9th days following tumor implantation), compared to control tumor-bearing mice.

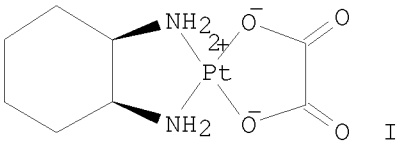
L7 ANSWER 94 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1979:103501 CAPLUS
DN 90:103501
OREF 90:16339a,16342a
TI 1,2-Diaminocyclohexane platinum(II) complexes having antineoplastic activity
IN Gale, Glen Roy; Meischen, Sandra Jan
PA United States Dept. of Health, Education, and Welfare, USA
SO U. S. Pat. Appl., 28 pp. Avail. NTIS.
CODEN: XAXXAV
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 855910	A0	19780707	US 1977-855910	19771129	<--
	US 4175133	A	19791120			
	US 719689	A0	19760902	US 1976-719689	19760902	<--
	US 4115418	A	19780919			
	US 769888	A0	19770218	US 1977-769888	19770218	<--
PRAI	US 1976-719689	A3	19760902			
	US 1977-769888	A1	19770218			

AB Dichloro[1,2-cyclohexanediamine)platinum (I) was prepared by treating K2PtCl4 with 1,2-cyclohexanediamine and was treated with AgNO3 to give [1,2-C6H10(NH2)2]PtX2 (II, X = ONO2), which was treated with other ligands to give II [X2 = CH2(CO2)2; HOCH2(CO2)2; SO4; HO, ONO2]. Both I and II were effective in the treatment of L1210 leukemia, and the effect was synergistic in combination with cyclophosphamide and Yoshi 864.

L7 ANSWER 95 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1978:608921 CAPLUS
DN 89:208921
OREF 89:32323a,32326a
TI Antitumor activity of 1,2-diaminocyclohexaneplatinum complexes against Sarcoma-180 ascites form
AU Kidani, Yoshinori; Inagaki, Kenji; Iigo, Masaaki; Hoshi, Akio; Kuretani, Kazuo
CS Fac. Pharm. Sci., Nagoya City Univ., Nagoya, Japan
SO Journal of Medicinal Chemistry (1978), 21(12), 1315-18
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English

GI



I

AB The antitumor activity of the cis, trans-d, and trans-l title compds. was evaluated using Sarcoma-180 ascites in ddN mice. The antitumor activity varied with the conformation of their nonleaving groups. The highest therapeutic index was shown by oxalato(cis-1,2-diaminocyclohexane)platinum (I) [61913-68-6]. The cis complexes were more effective than the trans ones. LD values are given and structure-ability relationships are discussed.

L7 ANSWER 96 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1978:436817 CAPLUS
DN 89:36817
OREF 89:5599a,5602a
TI Antitumor activity of platinum complexes of 1,2-diaminocyclohexane isomers
AU Speer, Robert J.; Hall, Larry M.; Stewart, David P.; Ridgway, Helen J.; Hill, Joseph M.; Kidani, Yoshinori; Inagaki, Kenji; Noji, Masahide; Tsukagoshi, Shigeru
CS Dep. Chem., Wadley Inst. Mol. Med., Dallas, TX, USA
SO Journal of Clinical Hematology and Oncology (1978), 8(2), 44-50
CODEN: JCHODP; ISSN: 0162-9360
DT Journal
LA English
AB Platinum complexes of 1,2-diaminocyclohexane were synthesized and tested as antileukemic agents against L1210 in mice. In most cases the (-)-trans-1,2-diaminocyclohexane complex was the most effective.

L7 ANSWER 97 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1978:45040 CAPLUS
DN 88:45040
OREF 88:7033a,7036a
TI Analogs of dichloro o-phenylenediamineplatinum(II): synthesis and antitumor testing
AU Hall, Larry M.; Speer, Robert J.; Ridgway, Helen J.; Hill, Joseph M.
CS Dep. Chem., Wadley Inst. Mol. Med., Dallas, TX, USA
SO Journal of Clinical Hematology and Oncology (1977), 7(4), 877-83
CODEN: JCHODP; ISSN: 0162-9360
DT Journal
LA English
AB A number of water soluble analogs of dichloro-o-phenylenediamine platinum(II) (DOPP) were synthesized, characterized, and tested for antitumor activity. The low activity of even the best DOPP analog seems to indicate that work in this area holds little promise. It is doubtful that these compds. will be clin. useful. The synthetic techniques may, however, be of value for future coordination synthesis.

L7 ANSWER 98 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1978:570 CAPLUS
DN 88:570
OREF 88:119a,122a
TI 1,2-Diaminocyclohexaneplatinum(II) complexes having antineoplastic activity
IN Gale, Glen Roy; Meischen, Sandra Jan

PA United States Dept. of Health, Education, and Welfare, USA
SO U. S. Pat. Appl., 28 pp. Avail. NTIS.
CODEN: XAXXAV
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 769888	A0	19770218	US 1977-769888	19770218 <--
	US 855910	A0	19780707	US 1977-855910	19771129 <--
	US 4175133	A	19791120		
PRAI	US 1976-719689	A3	19760902		
	US 1977-769888	A1	19770218		

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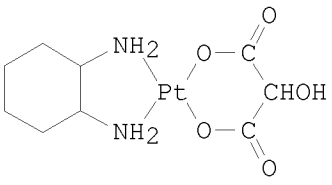


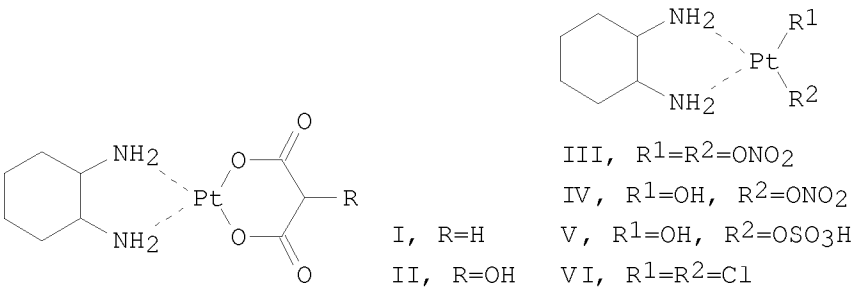
I

AB Organoplatinum complexes effective as antitumor agents and having sufficient water-solubility for use in aqueous i.v. fluids were prepared The organoplatinum complexes included malonato(1,2-diaminocyclohexane)platinum(II) (I) [52351-07-2], hydroxymalonato(1,2-diaminocyclohexane)platinum(II) [61593-73-5], dinitrato(1,2-diaminocyclohexane)platinum(II) [60732-70-9], sulfato(1,2-diaminocyclohexane)platinum(II) [64363-09-3], and hydroxonitrato(1,2-diaminocyclohexane)platinum(II) [64218-34-4]. The % ILS values resulting from treatment with I were considerably higher than those obtained by treatment with the dichloro complex. I exhibited a synergistic effect in combination chemotherapy with cyclophosphamide, but merely an additive effect with Yoshi-864.

L7 ANSWER 99 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1977:577979 CAPLUS
DN 87:177979
OREF 87:28067a,28070a
TI 1,2-Diaminocyclohexane platinum(II) complexes having antineoplastic activity
IN Gale, Glen Roy; Meischen, Sandra Jan
PA United States Dept. of Health, Education, and Welfare, USA
SO U. S. Pat. Appl., 28 pp. Avail. NTIS.
CODEN: XAXXAV
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 719689	A0	19760902	US 1976-719689	19760902 <--
	US 4115418	A	19780919		
	US 855910	A0	19780707	US 1977-855910	19771129 <--
	US 4175133	A	19791120		
PRAI	US 1976-719689	A3	19760902		
	US 1977-769888	A1	19770218		

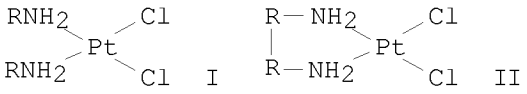
OS MARPAT 87:177979
GI



AB Malonato- (I) [52351-07-2], hydroxymalonato- (II) [61593-73-5], dinitrato- (III) [60732-70-9], hydroxonitrato- (IV) [64218-34-4], and sulfato(1,2-diaminocyclohexane)platinum(II) (V) [64363-09-3], prepared from dichloro(1,2-diaminocyclohexane)platinum(II) (VI) [52691-24-4], were more effective than VI in the treatment of L1210 leukemia in mice, both alone and in combination with cyclophosphamide [50-18-0] or Yoshi 864 [3458-22-8]. I-V were more water soluble than VI (e.g. IV was 300 times as soluble as VI), with sufficient water solubility for aqueous i.v. administration.

L7 ANSWER 100 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1977:400298 CAPLUS
DN 87:298
OREF 87:55a,58a
TI Synthesis and anti-tumor activities of platinum(II) complexes of 1,2-diaminocyclohexane isomers and their related derivatives
AU Kidani, Y.; Inagaki, K.; Saito, R.; Tsukagoshi, S.
CS Nagoya City Univ., Nagoya, Japan
SO Journal of Clinical Hematology and Oncology (1977), 7(1), 197-209
CODEN: JCHODP; ISSN: 0162-9360
DT Journal
LA English
AB Pt(II) complexes with cis- [1436-59-5], d-trans [21436-03-3], and l-trans-1,2-diaminocyclohexane [20439-47-8] were prepared and tested for antitumor activity. The Pt(II) complexes included the Cl, oxalate, malonate, and methylmalonate salts and the uracil complexes. The l-trans-1,2-diaminocyclohexane complexes showed the greatest neoplasm inhibiting activity. In contrast, complexes of Cu and Ni with 1,2-diaminocyclohexane were inactive. The conformational difference observed in this study may give very important information in the study of the mechanism of Pt complexes.

L7 ANSWER 101 OF 101 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1977:65342 CAPLUS
DN 86:65342
OREF 86:10317a,10320a
TI Antileukemic properties of organoplatinum complexes
AU Meischen, Sandra J.; Gale, Glen R.; Lake, Lanny M.; Frangakis, Crist J.; Rosenblum, Michael G.; Walker, Ernest M., Jr.; Atkins, Loretta M.; Smith, Alayne B.
CS VA Hosp., Charleston, SC, USA
SO Journal of the National Cancer Institute (1940-1978) (1976), 57(4), 841-5
CODEN: JNCIAM; ISSN: 0027-8874
DT Journal
LA English
GI



AB The antitumor activity of 46 cis-amineplatinum congeners I and II was evaluated against L1210 leukemia in mice. Several compds. in this series significantly prolonged the life-spans of mice with the leukemia. The compound that yielded optimal activity dichloro(1,2-diaminocyclohexane)platinum [52691-24-4], was substituted with various organic and inorg. anions. The aqueous solubility was greatly increased with retention of significant antileukemic activity. Most of the active compds. were synergistic with cyclophosphamide [50-18-0], and cure rates up to 80% were obtained with certain combinations. The preparation of the complexes is described and structure activity relationships are discussed.

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FULL ESTIMATED COST	334.59	513.62

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